

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	207	536/27.1.ccls.	US-PGPUB; USPAT	OR	OFF	2006/06/22 18:32
L2	101	536/27.2.ccls.	US-PGPUB; USPAT	OR	OFF	2006/06/22 18:32
L3	143	536/27.21.ccls.	US-PGPUB; USPAT	OR	OFF	2006/06/22 18:32
L4	178	536/27.8.ccls.	US-PGPUB; USPAT	OR	OFF	2006/06/22 18:33
L5	237	536/28.1.ccls.	US-PGPUB; USPAT	OR	OFF	2006/06/22 18:33
L6	144	536/28.4.ccls.	US-PGPUB; USPAT	OR	OFF	2006/06/22 18:33
L7	729	1 2 3 4 5 6	US-PGPUB; USPAT	OR	OFF	2006/06/22 18:33
L8	37	7 and (bridged locked)	US-PGPUB; USPAT	OR	OFF	2006/06/22 18:33
S1	30	("3687808" "4689320" "4806463" "5004810" "5166195" "5194428" "5242906" "5248670" "5442049" "5457189" "5514577" "5523389" "5580767" "5582972" "5582986" "5591600" "5591623" "5591720" "5607923" "5620963" "5658891" "5661134" "5681747" "5681944" "5691461" "5877309" "5955443" "5985558" "6111094" "6127533").PN.	USPAT	OR	OFF	2006/06/22 14:21
S2	30	("20020147332" "20030207841" "3687808" "4689320" "4806463" "5004810" "5166195" "5194428" "5242906" "5248670" "5442049" "5457189" "5514577" "5523389" "5580767" "5582972" "5582986" "5591600" "5591623" "5591720" "5607923" "5620963" "5658891" "5661134" "5681747" "5681944" "5691461" "5877309" "5955443" "5985558" "6111094" "6127533").PN.	USPAT	OR	OFF	2006/06/22 15:27
S3	10	"6268490"	USPAT	OR	OFF	2006/06/22 18:32
S4	1	"6043060".pn.	USPAT	OR	OFF	2006/06/22 15:59
S5	2	"2002284793"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2006/06/22 16:00

## EAST Search History

S6	3	"2002255990"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2006/06/22 16:25
S7	2	"2004143144"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2006/06/22 16:25
S8	2	"2004143114"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2006/06/22 16:25
S9	2	"20040143114"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2006/06/22 17:02
S10	2665	514/44.ccls.	USPAT	OR	ON	2006/06/22 17:02

Connecting via Winsock to STN

10/054,300

Welcome to STN International! Enter x:x

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PASSWORD:

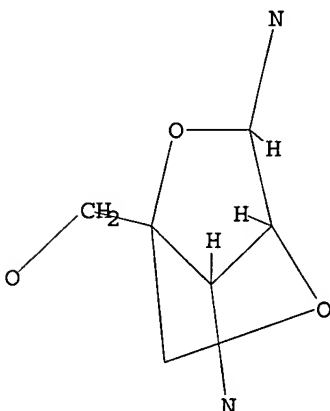
\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \*  
SESSION RESUMED IN FILE 'REGISTRY' AT 15:50:27 ON 22 JUN 2006  
FILE 'REGISTRY' ENTERED AT 15:50:27 ON 22 JUN 2006  
COPYRIGHT (C) 2006 American Chemical Society (ACS)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.88	1.09

=>  
Uploading C:\Program Files\Stnexp\Queries\10054300a.str

L2 STRUCTURE UPLOADED

=> d l2  
L2 HAS NO ANSWERS  
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l2 sss sam  
SAMPLE SEARCH INITIATED 15:50:51 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 8 TO 329  
PROJECTED ANSWERS: 0 TO 0

L3 0 SEA SSS SAM L2

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FULL SCREEN SEARCH COMPLETED - 192 TO ITERATE

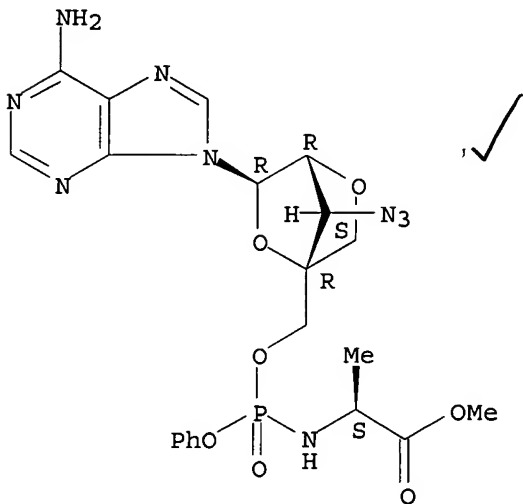
100.0% PROCESSED 192 ITERATIONS 24 ANSWERS  
SEARCH TIME: 00.00.01

L4 24 SEA SSS FUL L2

=> d 1-24 14

L4 ANSWER 1 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 474927-28-1 REGISTRY  
ED Entered STN: 03 Dec 2002  
CN L-Alanine, N-(3'-azido-3'-deoxy-2'-O,4'-C-methylene-P-phenyl-5'-adenylyl)-  
, methyl ester (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H24 N9 O7 P  
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LC STN Files: CA, CAPLUS, CASREACT

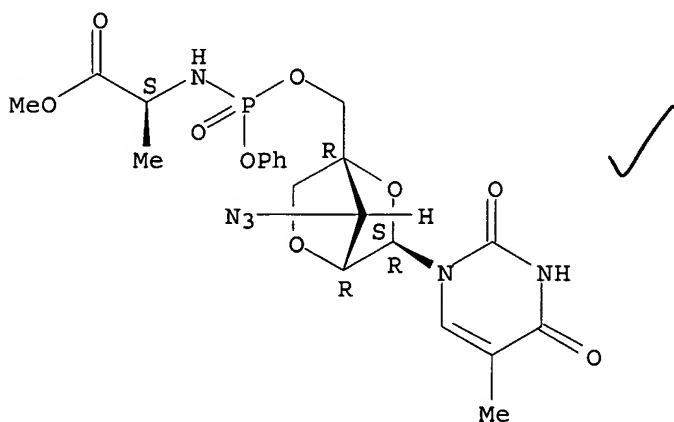
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 2 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 474927-26-9 REGISTRY  
ED Entered STN: 03 Dec 2002  
CN L-Alanine, N-(3'-azido-3'-deoxy-5-methyl-2'-O,4'-C-methylene-P-phenyl-5'-  
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SR CA  
LC STN Files: CA, CAPLUS, CASREACT

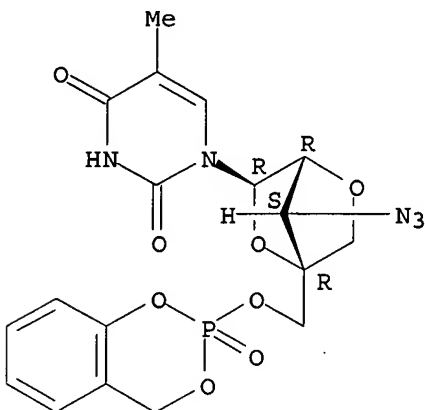
Absolute stereochemistry.



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 3 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 474927-20-3 REGISTRY  
ED Entered STN: 03 Dec 2002  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[[2-oxido-4H-1,3,2-benzodioxaphosphorin-2-yl)oxy]methyl]- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
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SR CA  
LC STN Files: CA, CAPLUS, CASREACT

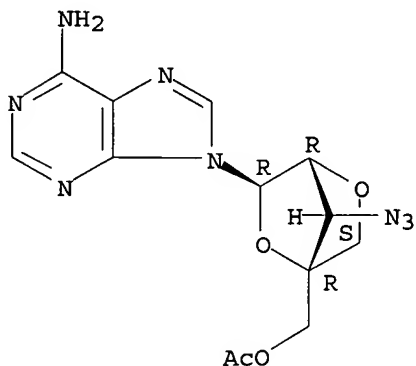
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 4 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 474927-14-5 REGISTRY  
ED Entered STN: 03 Dec 2002  
CN 9H-Purin-6-amine, 9-[4-C-[(acetyloxy)methyl]-2,5-anhydro-3-azido-3-deoxy- $\alpha$ -L-lyxofuranosyl]- (9CI) (CA INDEX NAME)  
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SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

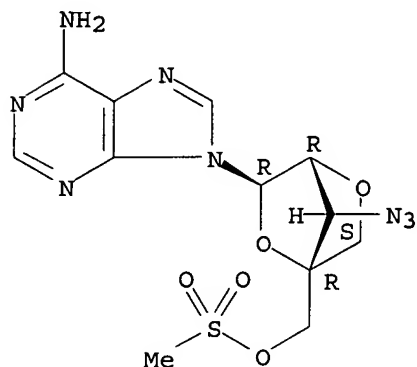


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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 5 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 474927-12-3 REGISTRY  
ED Entered STN: 03 Dec 2002

CN 9H-Purin-6-amine, 9-[2,5-anhydro-3-azido-3-deoxy-4-C-  
 [[ (methylsulfonyl)oxy]methyl]- $\alpha$ -L-lyxofuranosyl]- (9CI) (CA INDEX  
 NAME)  
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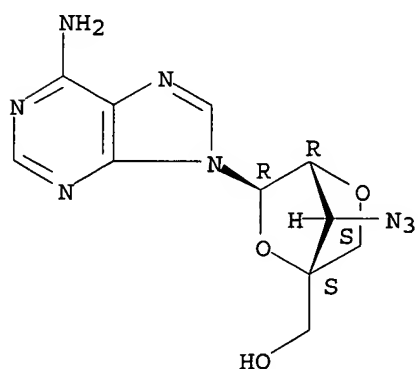
Absolute stereochemistry.



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L4 ANSWER 6 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 474926-81-3 REGISTRY  
 ED Entered STN: 03 Dec 2002  
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 $\alpha$ -L-lyxofuranosyl]- (9CI) (CA INDEX NAME)  
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 SR CA  
 LC STN Files: CA, CAPLUS, CASREACT

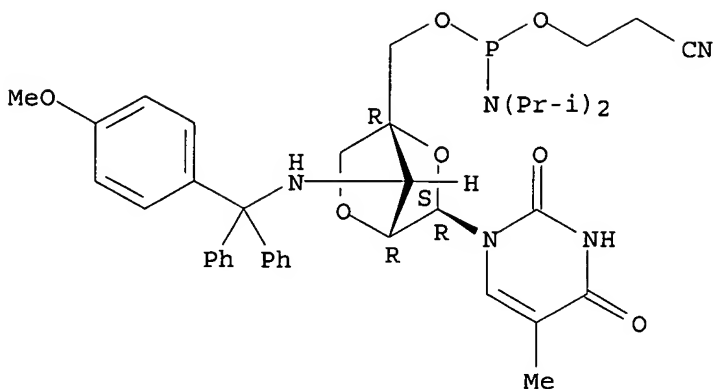
Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 7 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
 RN 457659-30-2 REGISTRY  
 ED Entered STN: 01 Oct 2002  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[[[bis(1-  
 methylethyl)amino] (2-cyanoethoxy)phosphino]oxy]methyl]-3-deoxy-3-[[ (4-  
 methoxyphenyl)diphenylmethyl]amino]- $\alpha$ -L-lyxofuranosyl]-5-methyl-  
 (9CI) (CA INDEX NAME)  
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 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

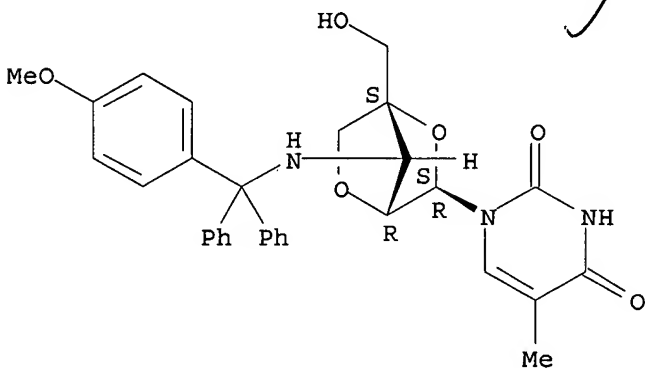


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 8 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 457659-29-9 REGISTRY  
ED Entered STN: 01 Oct 2002  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)-3-  
[[ (4-methoxyphenyl)diphenylmethyl]amino]- $\alpha$ -L-lyxofuranosyl]-5-methyl-  
(9CI) (CA INDEX NAME)  
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LC STN Files: CA, CAPLUS

Absolute stereochemistry.



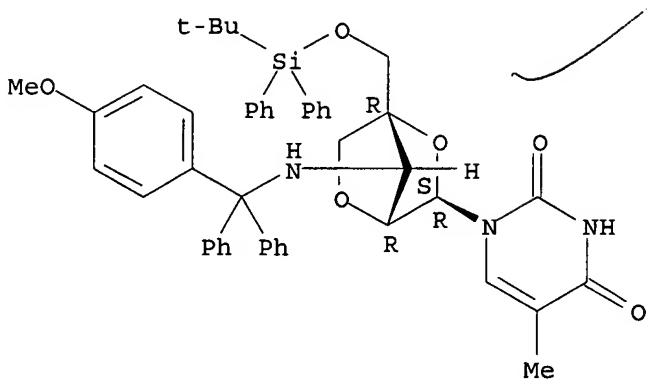
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L4 ANSWER 9 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 457659-28-8 REGISTRY  
ED Entered STN: 01 Oct 2002  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-deoxy-4-C-[[[(1,1-  
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LC STN Files: CA, CAPLUS

Absolute stereochemistry.

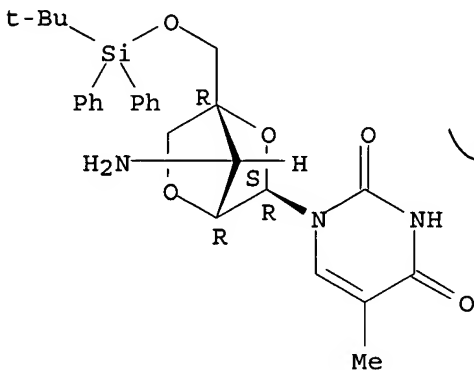


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 10 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 457659-27-7 REGISTRY  
ED Entered STN: 01 Oct 2002  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
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LC STN Files: CA, CAPLUS

Absolute stereochemistry.



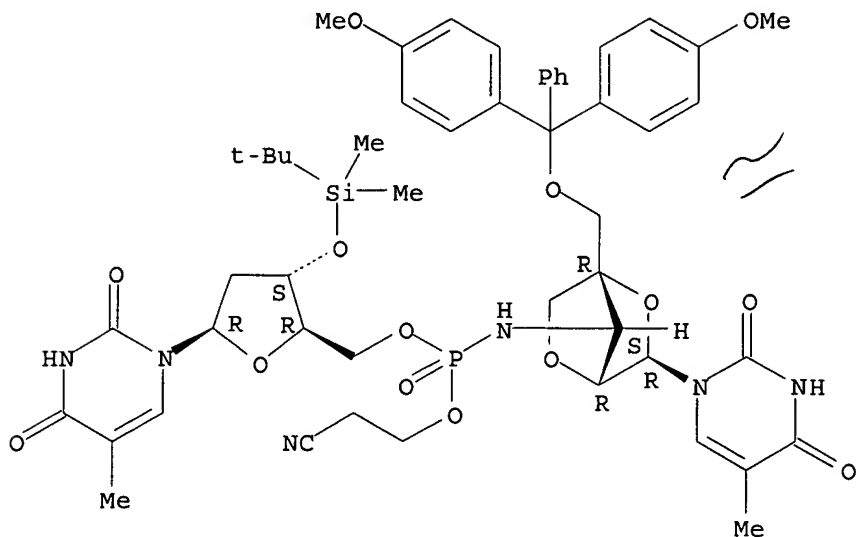
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 11 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 457659-26-6 REGISTRY  
ED Entered STN: 01 Oct 2002  
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-cyanoethyl)-3'-deoxy-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[[[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)  
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LC STN Files: CA, CAPLUS



Absolute stereochemistry.

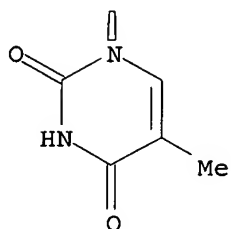
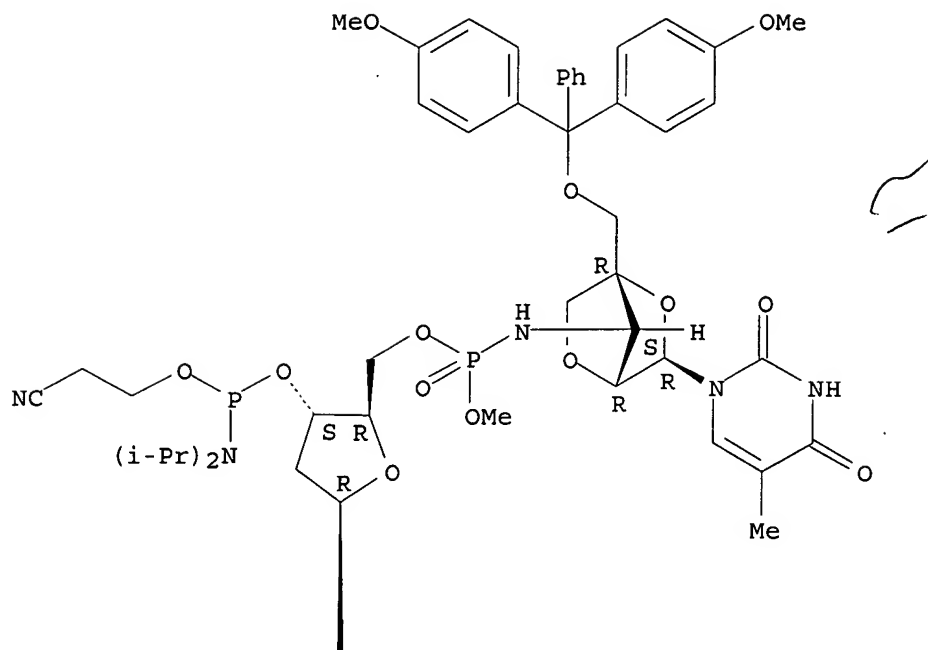


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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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RN 391259-85-1  REGISTRY
ED Entered STN: 11 Feb 2002
CN Thymidine, 3'-amino-5'-O- [bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P, 5-
dimethyl-2'-O, 4'-C-methylneuridylyl- (3'→5')-, 3'- [2-cyanoethyl
bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C52 H65 N7 O15 P2
SR CA
LC STN Files: CA, CAPLUS, CASREACT
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Absolute stereochemistry.

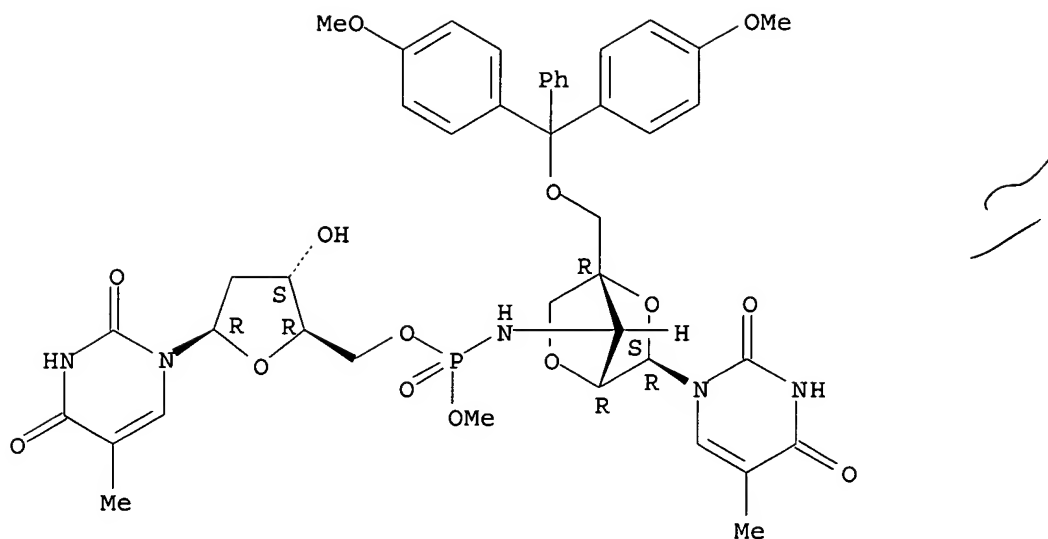


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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L4 ANSWER 13 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 391259-84-0 REGISTRY  
ED Entered STN: 11 Feb 2002  
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')- (9CI) (CA INDEX NAME)  
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LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



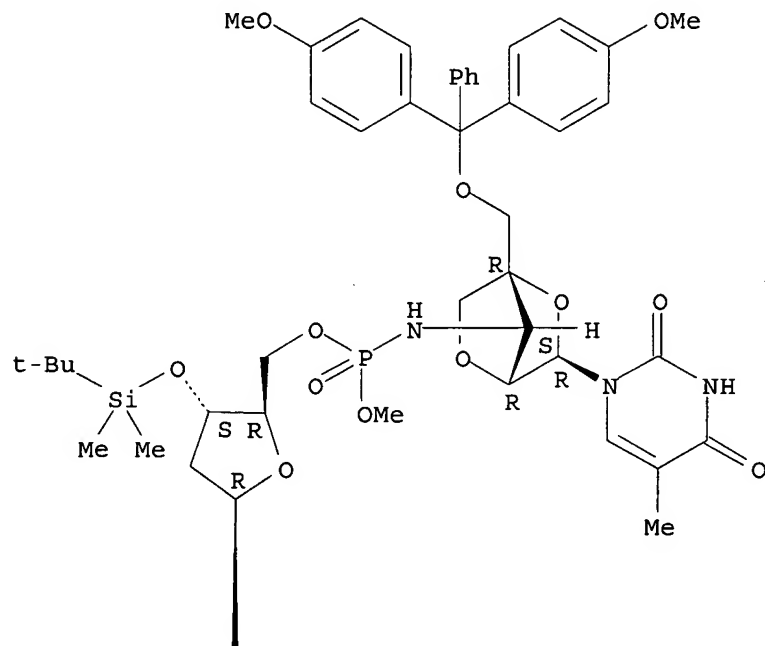
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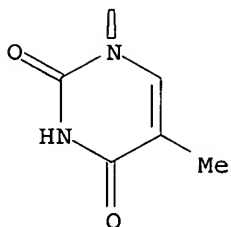
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L4 ANSWER 14 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
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ED Entered STN: 11 Feb 2002  
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P, 5-dimethyl-2'-O, 4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C49 H62 N5 O14 P Si  
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LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

PAGE 1-A





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

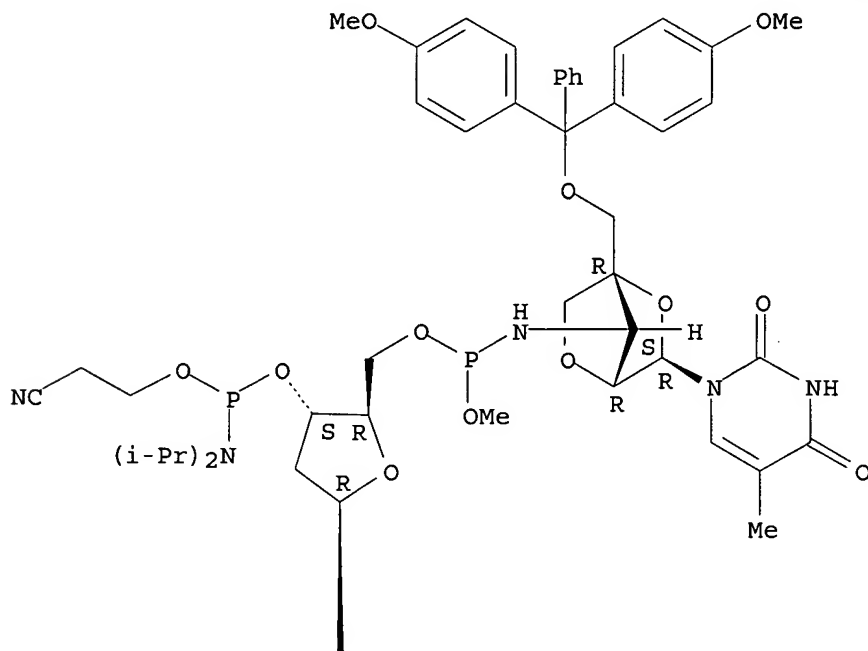
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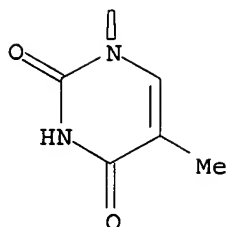
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 15 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
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 ED Entered STN: 15 Feb 2001  
 CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-3'-  
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 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)  
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 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



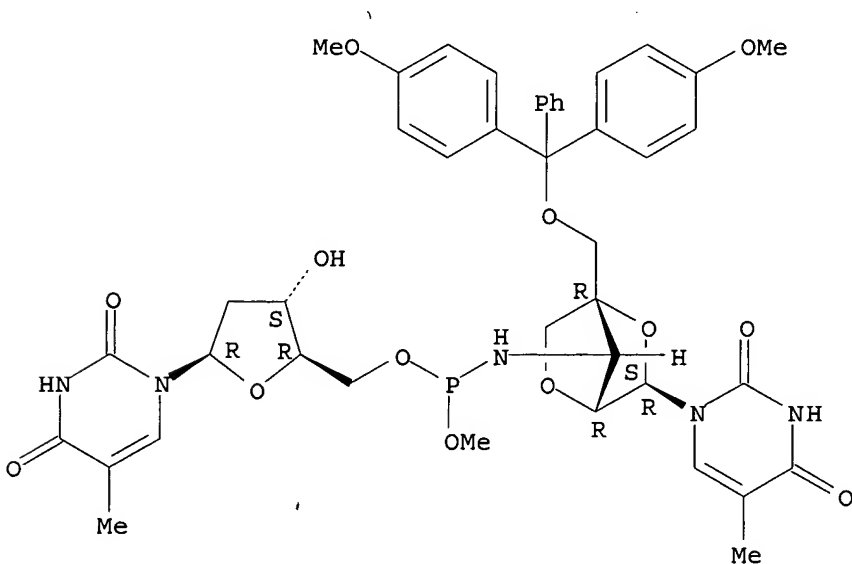


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 16 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 321882-32-0 REGISTRY  
ED Entered STN: 15 Feb 2001  
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-3'-  
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LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



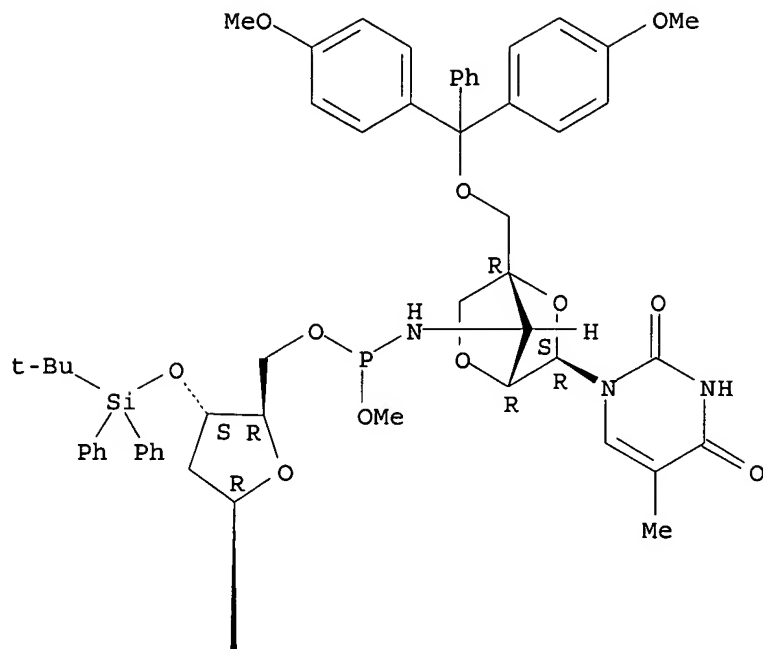
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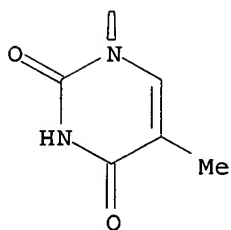
L4 ANSWER 17 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 321882-31-9 REGISTRY  
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deoxy-P(O),5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-  
[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
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LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

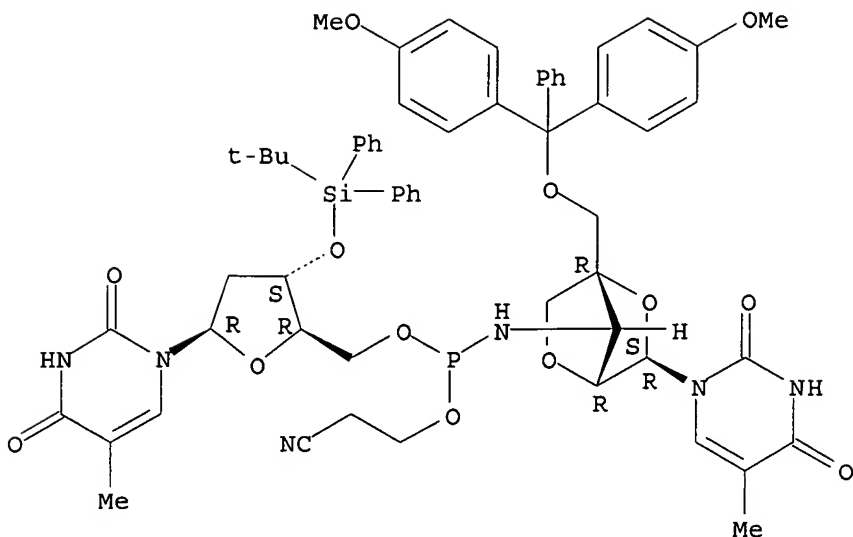


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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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RN 321882-30-8 REGISTRY
ED Entered STN: 15 Feb 2001
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P(O)-(2-
cyanoethyl)-P,3'-dideoxy-5-methyl-2'-O,4'-C-methyleneuridylyl-
(3'→5')-3'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX
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LC STN Files: CA, CAPLUS, USPATFULL
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Absolute stereochemistry.

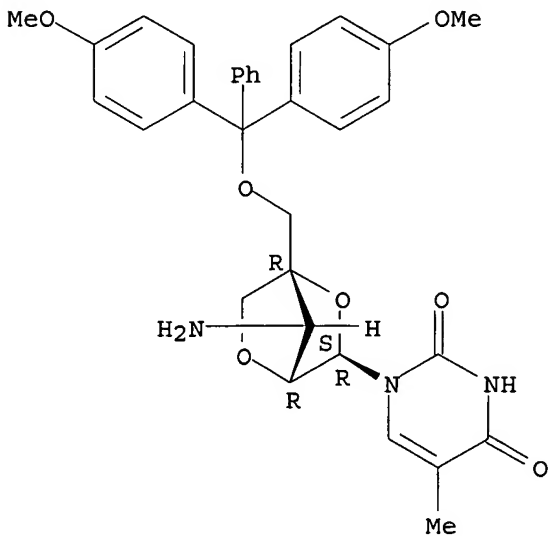


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 19 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 321882-29-5 REGISTRY  
ED Entered STN: 15 Feb 2001  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-deoxy- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
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MF C32 H33 N3 O7  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.

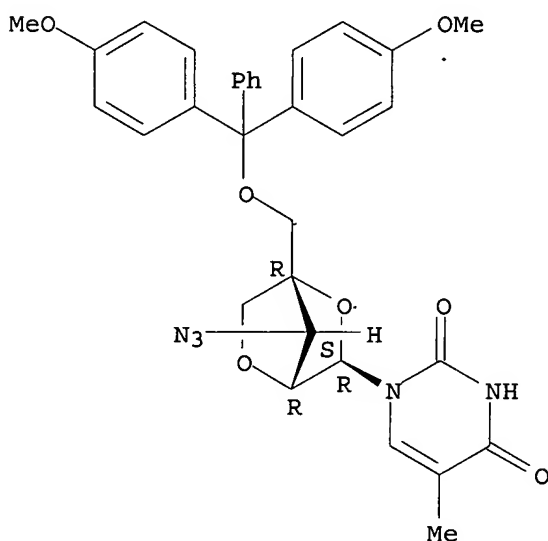


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4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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RN 321882-28-4 REGISTRY  
ED Entered STN: 15 Feb 2001  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-deoxy- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 3'-Azido-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine  
FS STEREOSEARCH  
MF C32 H31 N5 O7  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

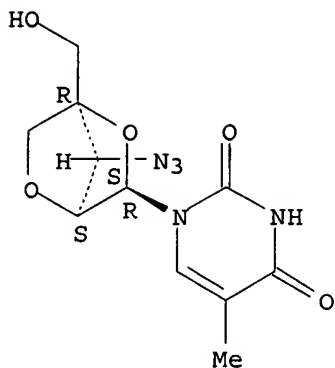


3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 21 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 319919-16-9 REGISTRY  
ED Entered STN: 05 Feb 2001  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- $\beta$ -D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C11 H13 N5 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

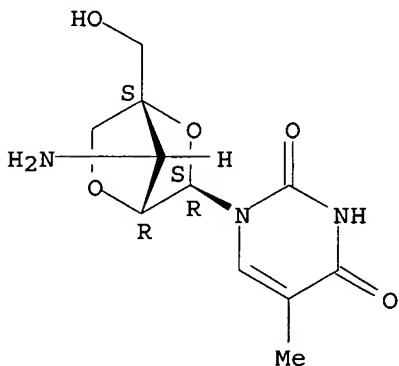




1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 22 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 247025-18-9 REGISTRY  
ED Entered STN: 10 Nov 1999  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 3'-Amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine  
FS STEREOSEARCH  
MF C11 H15 N3 O5  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

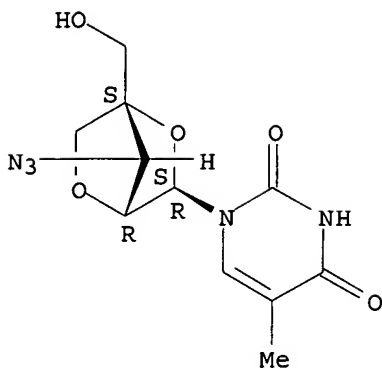


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 23 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 247025-17-8 REGISTRY  
ED Entered STN: 10 Nov 1999  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 3'-Azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine  
FS STEREOSEARCH  
MF C11 H13 N5 O5  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

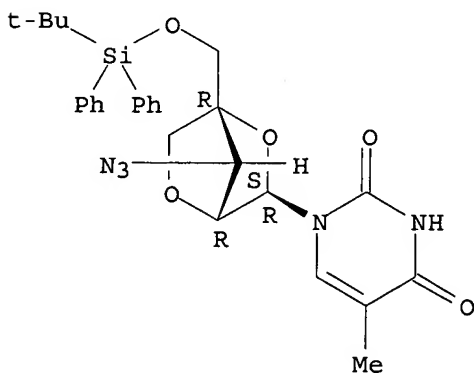
Absolute stereochemistry.



7 REFERENCES IN FILE CA (1907 TO DATE)  
7 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 24 OF 24 REGISTRY COPYRIGHT 2006 ACS on STN  
RN 247025-16-7 REGISTRY  
ED Entered STN: 10 Nov 1999  
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 3'-Azido-5'-O-tert-butyldiphenylsilyl-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine  
FS STEREOSEARCH  
MF C27 H31 N5 O5 Si  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



4 REFERENCES IN FILE CA (1907 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus

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SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

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FILE LAST UPDATED: 21 Jun 2006 (20060621/ED)

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L4 24 S L2 FULL

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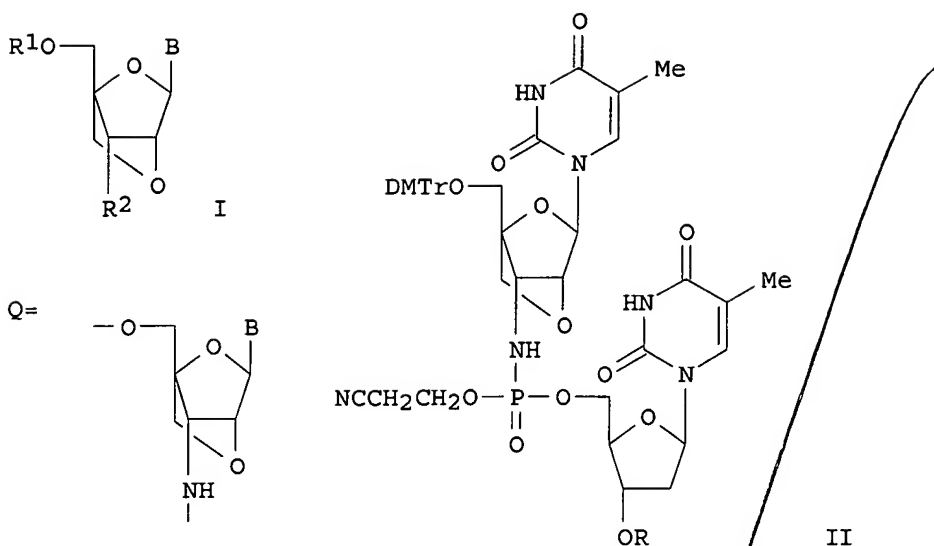
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L5 7 L4

=> d bib abs hitstr 1-7 15

L5 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2002:750731 CAPLUS  
DN 137:295192  
TI Preparation of bicyclonucleoside analogs and oligonucleotides containing  
them as nucleic acid reagents  
IN Imanishi, Takeshi; Kohiyori, Satoshi  
PA Sankyo Co., Ltd., Japan  
SO Jpn. Kokai Tokkyo Koho, 31 pp.  
CODEN: JKXXAF  
DT Patent  
LA Japanese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002284793	A2	20021003	JP 2002-6998	20020116
JP 2001-9314	A	20010117		

OS MARPAT 137:295192  
GI



AB Disclosed are nucleic acid reagents containing bicyclonucleoside analogs [I; R<sup>1</sup> = H, HO-protecting group in DNA synthesis, PO<sub>3</sub>H<sub>2</sub> optionally protected by a protecting group used in DNA synthesis, P(R<sup>4</sup><sub>a</sub>)R<sup>4</sup><sub>b</sub> (wherein R<sup>4</sup><sub>a</sub>, R<sup>4</sup><sub>b</sub> = OH, SH, or NH<sub>2</sub> each optionally protected by a protecting group used in DNA synthesis, C1-6 alkoxy, C1-6 alkylthio, C1-7 cyanoalkoxy, C1-6 alkylamino); R<sup>2</sup> = N<sup>3</sup>, NH<sub>2</sub>, NHR<sup>3</sup> (wherein R<sup>3</sup> = amino-protecting group in DNA synthesis, PO<sub>3</sub>H<sub>2</sub> optionally protected by a protecting group used in DNA synthesis, P(R<sup>4</sup><sub>a</sub>)R<sup>4</sup><sub>b</sub>; wherein R<sup>4</sup><sub>a</sub>, R<sup>4</sup><sub>b</sub> = same as above); B = purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl optionally having ≥1 of any substituents selected from HO, SH, or NH<sub>2</sub> each optionally protected by a protecting group used in DNA synthesis, C1-6 alkylamino, C1-6 alkyl, halo] or pharmacol. acceptable salts thereof. These bicyclonucleoside analogs have anti-AIDS activity and are useful as intermediates for oligonucleotide analogs possessing excellent antisense and anti-gene activity and stable in vivo. Also claimed are antisense or anti-gene drugs containing oligonucleotides containing ≥2 of 3'-amino-3'-deoxy-2'-O,4'-C-methylene bicyclonucleoside structure units represented by Q (wherein B = same as above) or pharmacol. acceptable salts thereof. Thus, to a solution of 22.1 mg 3'-O-(tert-butyldimethylsilyl)thymidine-5'-methylphosphonate (preparation given) in 0.3 mL CCl<sub>4</sub> was added a solution of 10.0 mg 3'-amino-3'-deoxy-5'-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine (preparation given) and 0.05 mL Et<sub>3</sub>N in 0.2 mL MeCN and stirred at room temperature for 18 h to give a dinucleotide analog (II; DMTr = 4,4'-dimethoxytrityl; R = tert-butyldimethylsilyl) in 39% yield which (13.9 mg) was dissolved in 1 mL THF and stirred with 15 μL 1.0 M Bu<sub>4</sub>NF/THF at room temperature for 3 h to give 78% II (R = H). To a solution of 10.0 mg II (R = H) and 15.5 mg diisopropylammonium tetrazolide in 0.6 mL MeCN were added 0.2 mL THF and 39.8 mg 2-cyanoethyldiisopropylchlorophosphoramidite and stirred at room temperature for 25 h to give 31% II [R = P(OCH<sub>2</sub>CH<sub>2</sub>CN)N(i-Pr)<sub>2</sub>] which was used to prepare an oligonucleotide analog, 5'-TTTTTTTTTnT-3' (III; n = 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine residue) (4.3% yield) by the solid phase phosphoramidite method using a DNA synthesizer Gene Assembler Plus (Pharmacia Corp.). The oligonucleotide analog III exhibited the formability of a triple strand (T<sub>m</sub> = 55°) with 2 natural-type oligonucleotides of 5'-GCTAAAAGAAAGAGATCG-3' and 5'-CGATCTCTTTCTTTTAGC-3', superior to that (T<sub>m</sub> = 44) of a natural-type oligonucleotide of 5'-TTTTTmTTTmTmTmT-3' (m = 5-methyl-2'-deoxycytidine). III also exhibited the resistance against hydrolysis by 3'-exonuclease from *Crotalus durissus* (phosphodiesterase II) comparable to that of the known unnatural oligonucleotide, i.e. 5'-TTTTTTTTTn'T-3' (n' = 2'-O,4'-C-methylene-5-methyluridine).

IT 247025-17-8P, 3'-Azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine

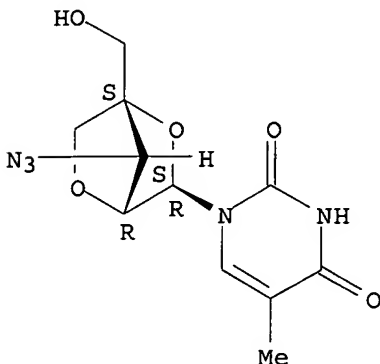
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of anti-AIDS bicyclonucleoside analogs and antisense and anti-gene oligonucleotide analogs containing them as nucleic acid reagents)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 247025-18-9P, 3'-Amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine

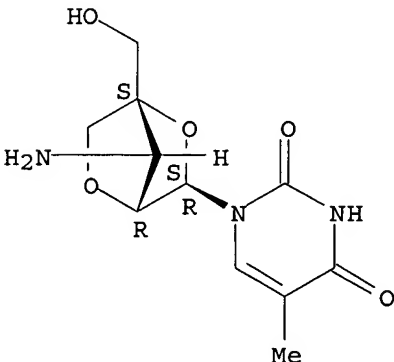
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anti-AIDS bicyclonucleoside analogs and antisense and anti-gene oligonucleotide analogs containing them as nucleic acid reagents)

RN 247025-18-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 247025-16-7P, 3'-Azido-5'-O-tert-butyldiphenylsilyl-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine 321882-28-4P, 3'-Azido-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine 321882-29-5P, 3'-Amino-3'-deoxy-5'-O-(4,4'-dimethoxytrityl)-2'-O,4'-C-methylene-5-methyluridine 391259-82-8P 391259-84-0P 391259-85-1P 457659-26-6P

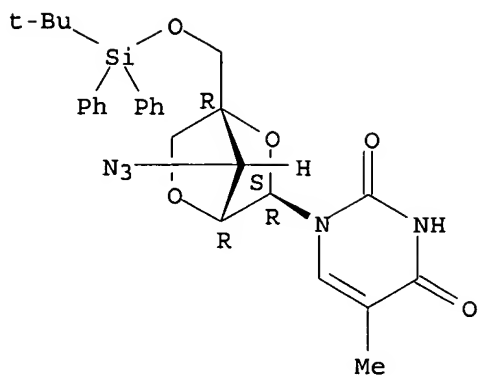
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anti-AIDS bicyclonucleoside analogs and antisense and anti-gene oligonucleotide analogs containing them as nucleic acid reagents)

RN 247025-16-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

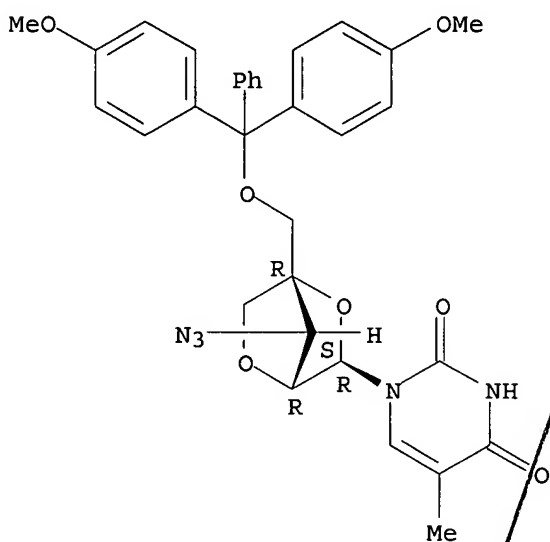
Absolute stereochemistry.



RN 321882-28-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-deoxy-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

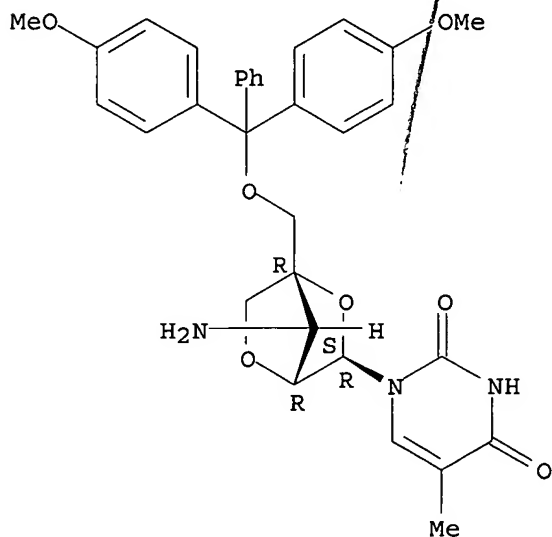
Absolute stereochemistry.



RN 321882-29-5 CAPLUS

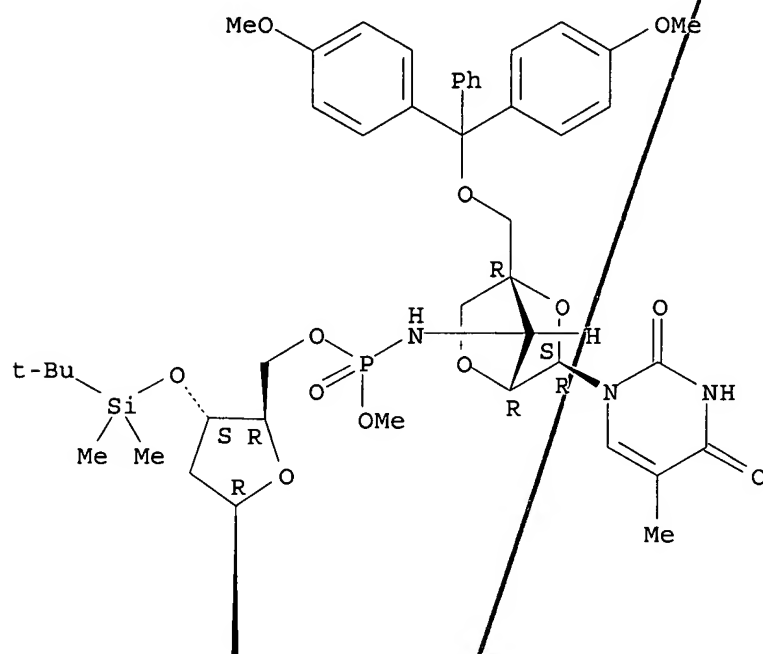
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-deoxy-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

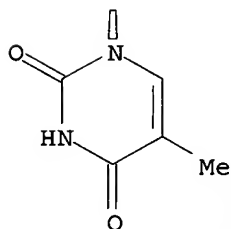


Absolute stereochemistry.

PAGE 1-A

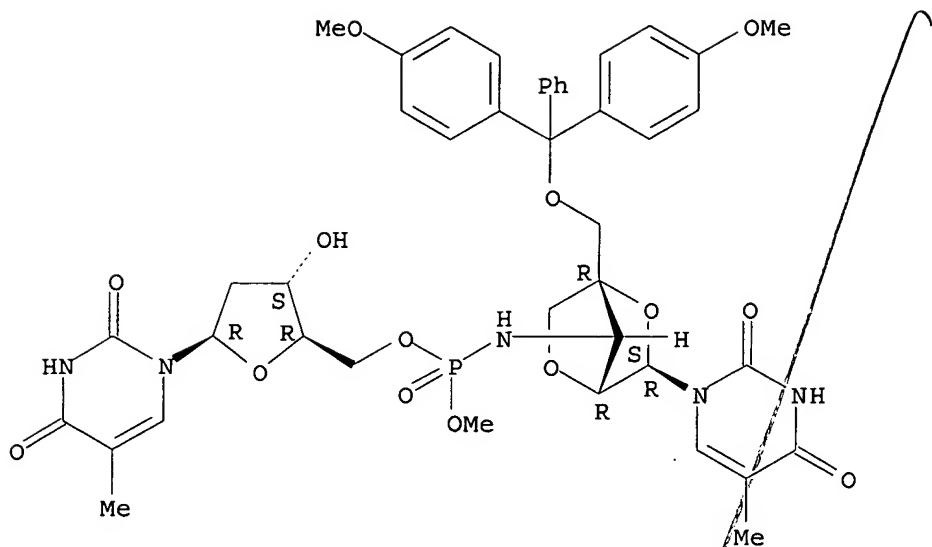


PAGE 2-A



RN 391259-84-0 CAPLUS  
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-  
dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.

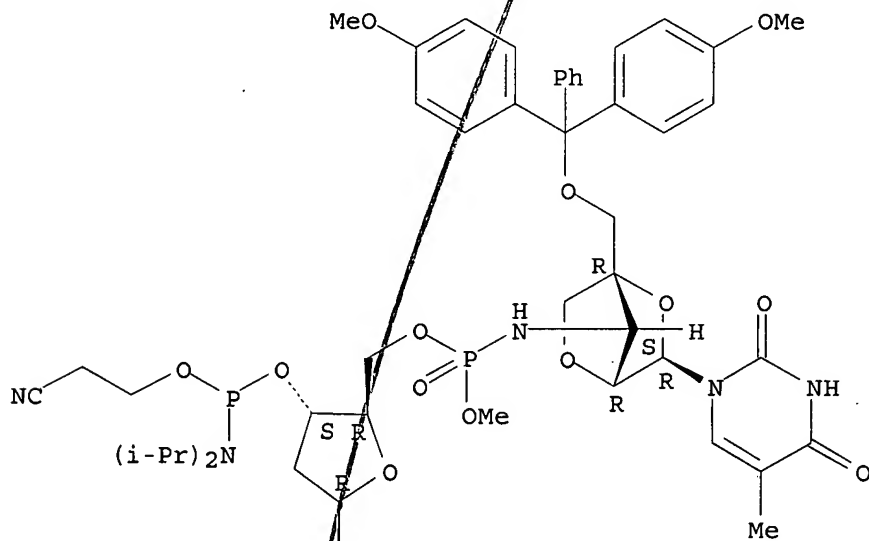


RN 391259-85-1 CAPLUS

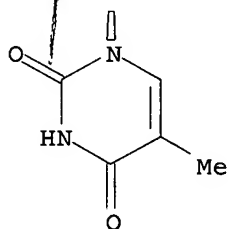
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



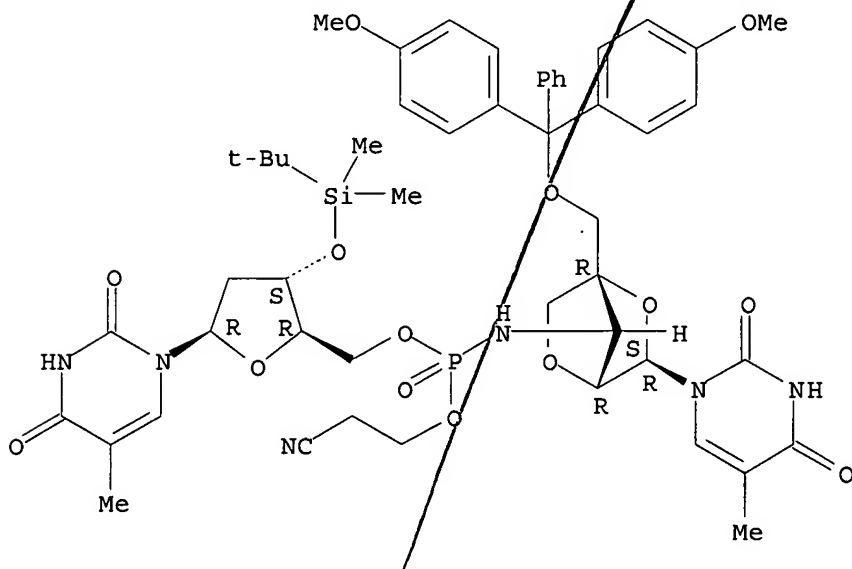
PAGE 2-A





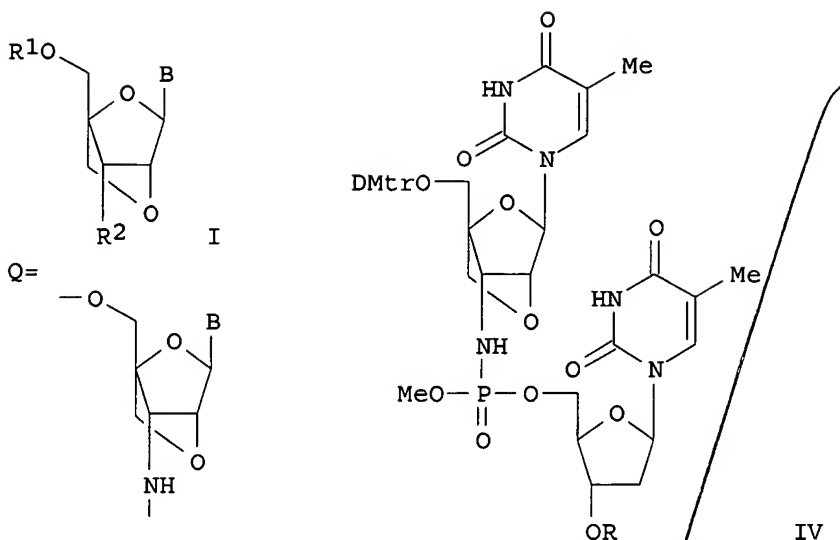
RN 457659-26-6 CAPLUS  
 CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-cyanoethyl)-3'-deoxy-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:691401 CAPLUS  
 DN 137:232861  
 TI Preparation of 3'-amino or 3'-amino-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs and oligonucleotide analogs containing the nucleoside analogs and N3'-P5' bond as anti-AIDS drugs  
 IN Imanishi, Takeshi; Kohiyori, Satoshi  
 PA Sankyo Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 43 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002255990	A2	20020911	JP 2001-352543	20011119
PRAI	JP 2000-354326	A	20001121		
OS	MARPAT 137:232861				
GI					



AB Bicyclo nucleoside analogs having anti-AIDS activity, oligonucleotides possessing excellent antisense or anti-gene activity and in vivo stability, and intermediates thereof are provided. 3'-Amino or 3'-azido-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs [I; R1 = H, hydroxy-protecting group in nucleic acid synthesis, P(O)(OH)<sub>2</sub> optionally protected by a protecting group in nucleic acid synthesis, P(R4a)R4b (wherein R4a, R4b = OH, SH, or NH<sub>2</sub> optionally protected by a protecting group in nucleic acid synthesis, C1-6 alkoxy, C1-6 alkylthio, C1-7 cyanoalkoxy, C1-6 alkylamino); R2 = N<sub>3</sub>, NH<sub>2</sub>, NHR<sub>3</sub> (wherein R<sub>3</sub> = amino-protecting group in nucleic acid synthesis), P(O)(OH)<sub>2</sub> optionally protected by a protecting group in nucleic acid synthesis, P(R4a)R4b (wherein R4a, R4b = same as above); B = purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl optionally possessing ≥1 substituent group selected from HO, SH, or NH<sub>2</sub> protected by a protecting group in nucleic acid synthesis, C1-6 alkoxy, C1-6 alkylthio, C1-6 alkyl, and halo] and oligonucleotides containing 1 or ≥2 nucleoside residues represented by formula Q (B = same as above) or pharmacol. acceptable salts thereof are prepared. Thus, 240 mg O,O'-bis(trimethylsilyl)thymine and 253 mg SnCl<sub>4</sub> were added to a solution of 300 mg 3-azido-5-O-tert-butylidiphenylsilyl-3-deoxy-4-(p-toluenesulfonyloxymethyl)-1,2-di-O-acetyl-D-ribofuranose in 6 mL 1,2-dichloroethane and stirred for 43 h to give 91% 2'-O-acetyl-3'-azido-5'-O-tert-butylidiphenylsilyl-3-deoxy-4-(p-toluenesulfonyloxymethyl)-5-methyluridine which were deprotected by treatment with K<sub>2</sub>CO<sub>3</sub> in MeOH at room temperature for 4.5 h and with Bu<sub>4</sub>NF in THF at room temperature for 1 h to give 85% 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine (II). To a solution of 300 mg II in 6 mL pyridine was added 415 mg 4,4'-dimethoxytrityl chloride and 12.5 mg 4-dimethylaminopyridine and stirred at room temperature for 20.5 h to give 76% 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5'-O-(4,4'-dimethoxytrityl)-5-methyluridine which (110 mg) was stirred with PPh<sub>3</sub> in pyridine at room temperature for 3.5 h to give 97% 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5'-O-(4,4'-dimethoxytrityl)-5-methyluridine (III). III (10.0 mg) was condensed with 22.1 mg 3'-O-(tert-butylidimethylsilyl)thymidine 5'-(Me phosphonate) in the presence of Et<sub>3</sub>N in CCl<sub>4</sub>/MeCN at room temperature for 18 h to give 39% dinucleotide analog (IV; R = tert-butylidimethylsilyl; DMtr = 4,4'-dimethoxytrityl) which was deprotected by treatment with Bu<sub>4</sub>NF in THF to give 78% IV (R = H). To a solution of 10.0 mg IV (R = H) and 15.5 mg diisopropylammonium tetrazolide in 0.6 mL MeCN and 0.2 mL THF was added 39.8 mg 2-cyanoethyl-N,N-diisopropylchlorophosphoramidite and stirred at room temperature for 25 h to give dinucleotide analog phosphoramidite IV [R = P(CH<sub>2</sub>CH<sub>2</sub>CN)N(iPr)<sub>2</sub>] which was used to prepare oligonucleotide analogs, e.g. 5'-TTTTTmTnTmTmTmT-3' (V; m = 5-methyl-2'-deoxycytidine, n = 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine residue), by a Gene Assembler Plus DNA synthesizer (Pharmacia Corp.). V exhibited the formability of a triple strand (Tm = 55°) with 5'-GCTAAAAAGAAAGAGATCG-3' and 5'-CGATCTCTCTTTCTTTTAGC-3' better than that (Tm = 44°) of natural oligonucleotide 5'-TTTTTmTmTmTmT-3' (m = same as above).

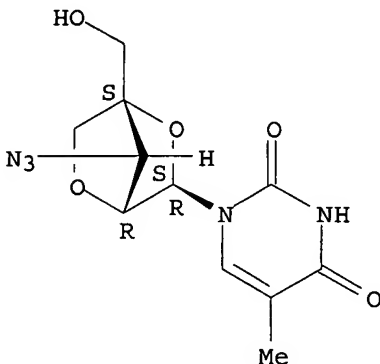
IT 247025-17-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 3'-amino or 3'-amino-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs and nuclease-resistant antisense oligonucleotide analogs containing them and N3'-P5' bonds as anti-AIDS drugs)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



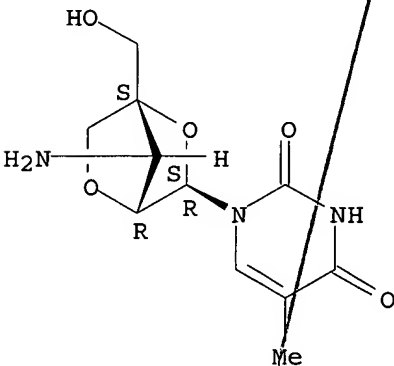
IT 247025-18-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 3'-amino or 3'-amino-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs and nuclease-resistant antisense oligonucleotide analogs containing them and N3'-P5' bonds as anti-AIDS drugs)

RN 247025-18-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 247025-16-7P 321882-28-4P 321882-29-5P  
391259-82-8P 391259-84-0P 391259-85-1P  
457659-26-6P 457659-27-7P 457659-28-8P  
457659-29-9P 457659-30-2P

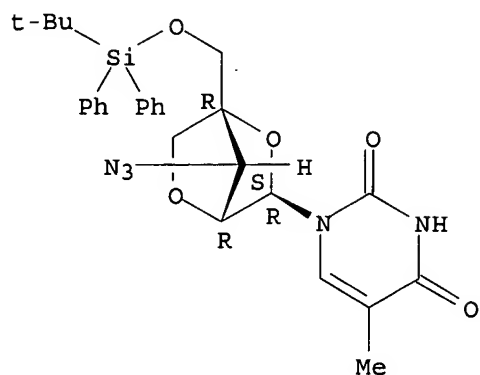
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3'-amino or 3'-amino-3'-deoxy-2'-O,4'-C-methylene nucleoside analogs and nuclease-resistant antisense oligonucleotide analogs containing them and N3'-P5' bonds as anti-AIDS drugs)

RN 247025-16-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

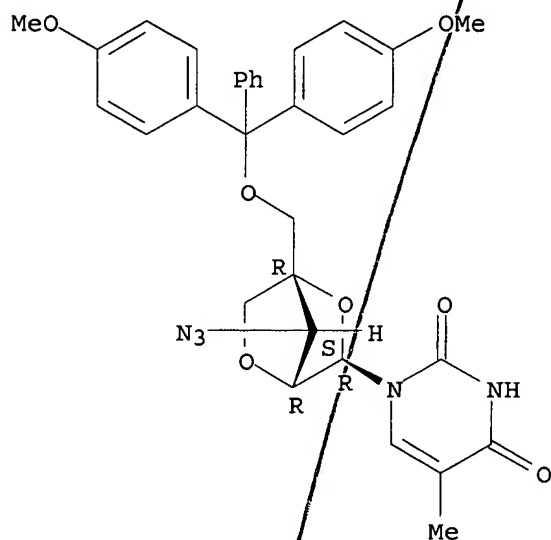
Absolute stereochemistry.



RN 321882-28-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-5-O-[(4-methoxyphenyl)phenylmethyl]]-3-deoxy-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

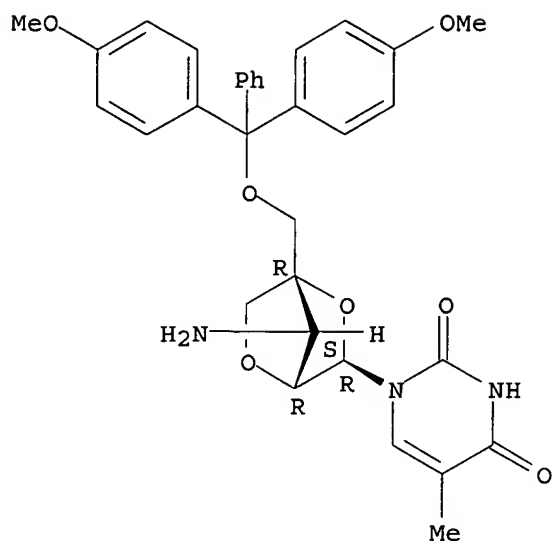
Absolute stereochemistry.



RN 321882-29-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]]-3-deoxy-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

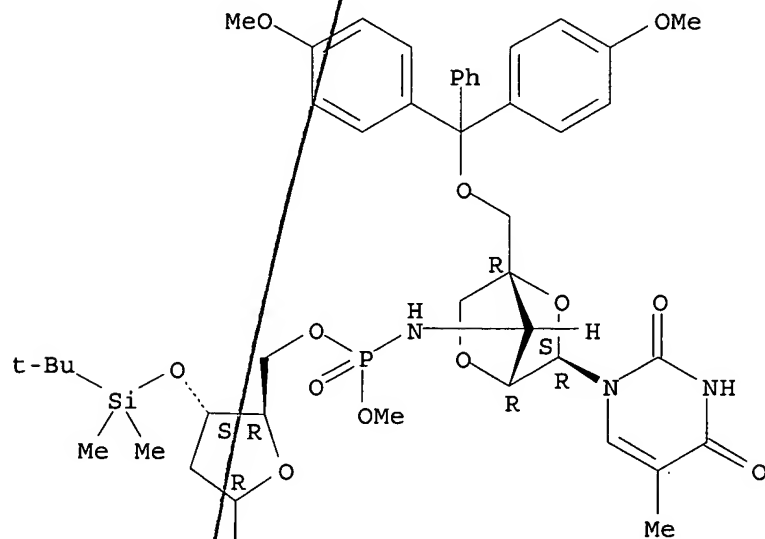


RN 391259-82-8 CAPLUS

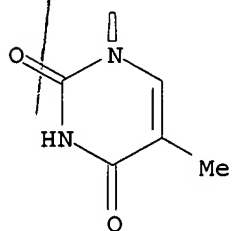
CN Thymidine, 3'-amino-5'-O- [bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

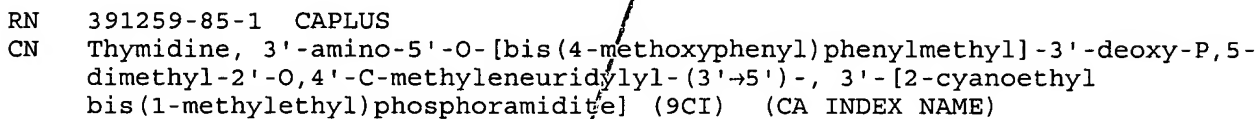
PAGE 1-A



PAGE 2-A

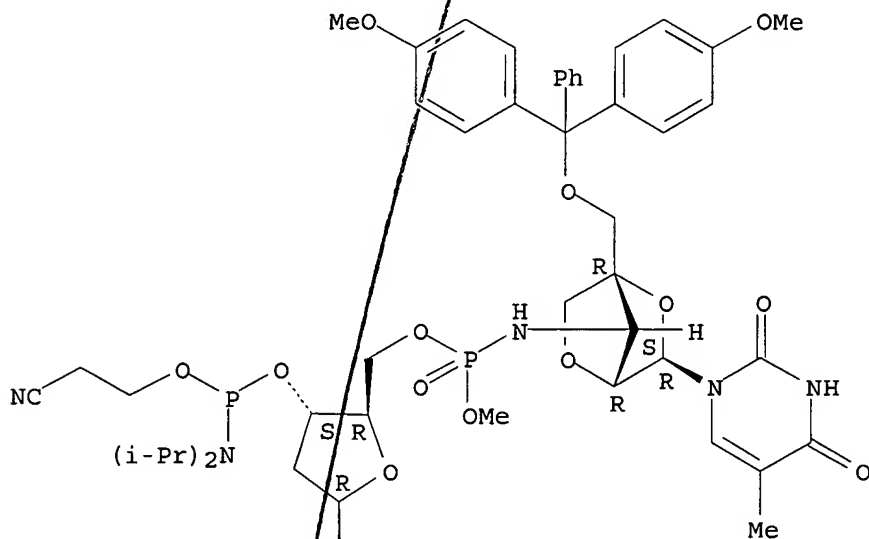


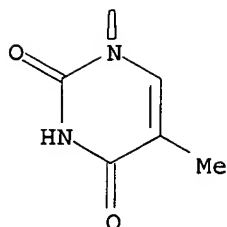
Absolute stereochemistry.



Absolute stereochemistry.

PAGE 1-A

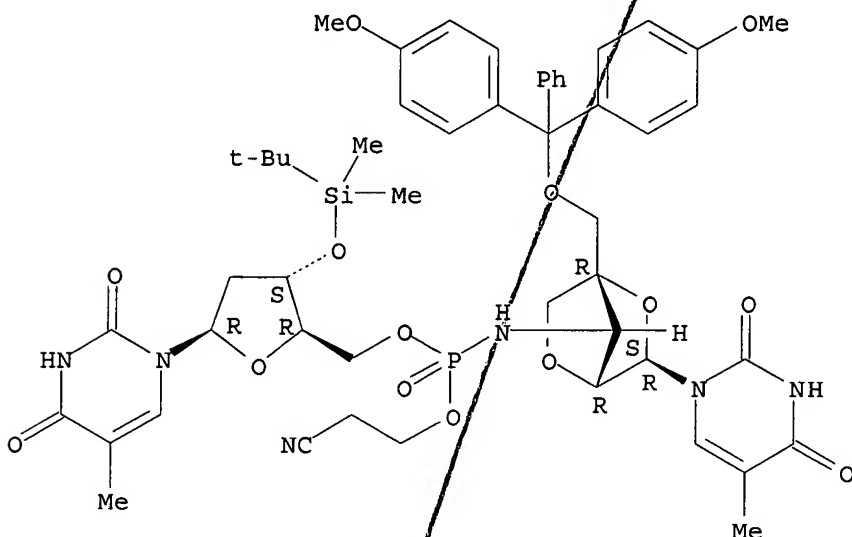




RN 457659-26-6 CAPLUS

CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-(2-cyanoethyl)-3'-deoxy-5-methyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

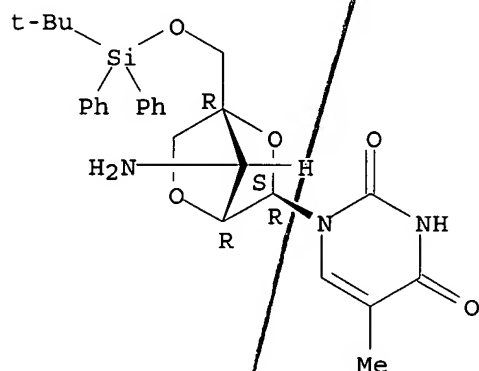
Absolute stereochemistry.



RN 457659-27-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

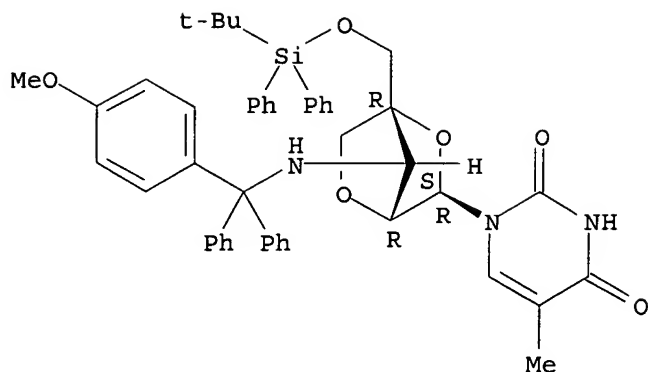
Absolute stereochemistry.



RN 457659-28-8 CAPLUS

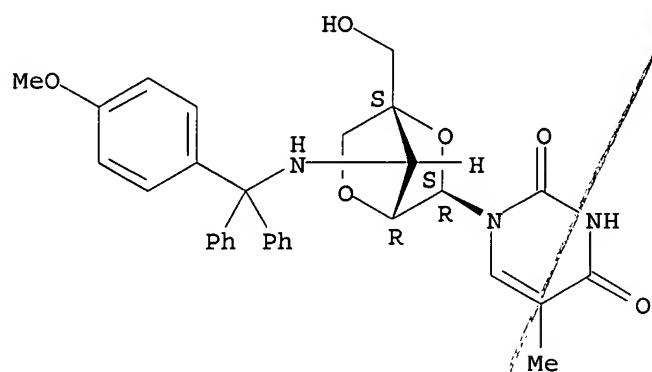
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]-3-[[4-methoxyphenyl]diphenylmethyl]amino]-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



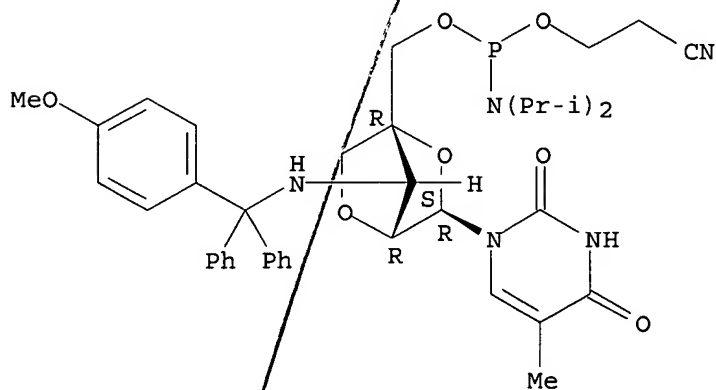
RN 457659-29-9 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)-3-  
 [[(4-methoxyphenyl)diphenylmethyl]amino]- $\alpha$ -L-lyxofuranosyl]-5-methyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 457659-30-2 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-4-C-[[[bis(1-  
 methylethyl)amino](2-cyanoethoxy)phosphino]oxy]methyl]-3-deoxy-3-[[4-  
 methoxyphenyl)diphenylmethyl]amino]- $\alpha$ -L-lyxofuranosyl]-5-methyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:517337 CAPLUS

DN 137:353253

TI Synthesis and antiviral evaluation of novel conformationally locked  
 nucleosides and masked 5'-phosphate derivatives thereof

AU Bryld, Torsten; Sorensen, Marianne H.; Nielsen, Poul; Koch, Troels;  
 Nielsen, Claus; Wengel, Jesper



CS Department of Chemistry, Nucleic Acid Center, University of Southern  
Denmark, Odense, DK-5230, Den.

SO Journal of the Chemical Society, Perkin Transactions 1 (2002), (14),  
1655-1662  
CODEN: JCSPCE; ISSN: 1472-7781

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 137:353253

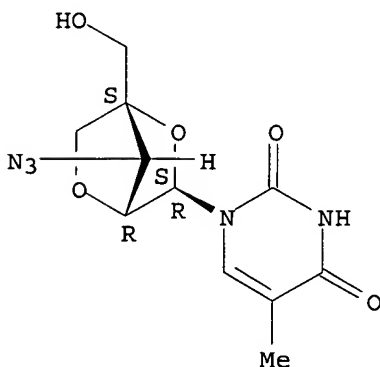
AB As part of a program towards evaluating the potential of conformationally  
locked 3'-deoxy- and 3'-azido-3'-deoxy-nucleoside derivs. as prodrugs of  
potential 5'-O-triphosphorylated anti-HIV drugs, novel nucleoside derivs.  
with locked N-type (north-type, C3'-endo) furanose conformation were  
prepared using convergent synthetic strategies. In addition, masked  
5'-monophosphate derivs. of these, and of a conformationally restricted  
3'-azido-3'-deoxynucleoside with E-type (eastern-type, O4'-endo) furanose  
conformation, were prepared in order to potentially circumvent the first  
phosphorylation step. However, neither the free 5'-hydroxy derivs. nor  
the masked 5'-monophosphates showed anti-HIV activity in MT-4 cells.

IT 247025-17-8  
RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological  
study); RACT (Reactant or reagent)  
(synthesis and antiviral activity of novel conformationally locked  
nucleosides and masked phosphate derivs. in order to evaluate the  
relationship between furanose conformation and anti-HIV activity)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-  
(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

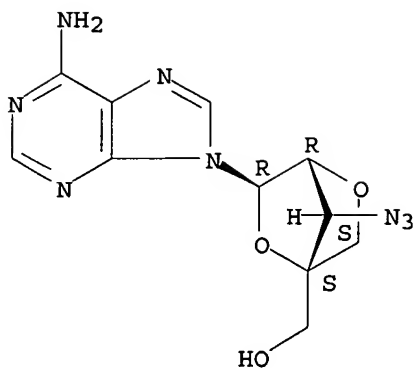


IT 474926-81-3P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic  
preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant  
or reagent)  
(synthesis and antiviral activity of novel conformationally locked  
nucleosides and masked phosphate derivs. in order to evaluate the  
relationship between furanose conformation and anti-HIV activity)

RN 474926-81-3 CAPLUS

CN 9H-Purin-6-amine, 9-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)-  
 $\alpha$ -L-lyxofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 474927-20-3P 474927-26-9P 474927-28-1P

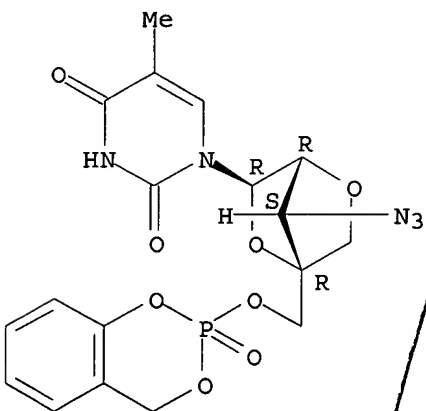
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antiviral activity of novel conformationally locked nucleosides and masked phosphate derivs. in order to evaluate the relationship between furanose conformation and anti-HIV activity)

RN 474927-20-3 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[(2-oxido-4H-1,3,2-benzodioxaphosphorin-2-yl)oxy]methyl]-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

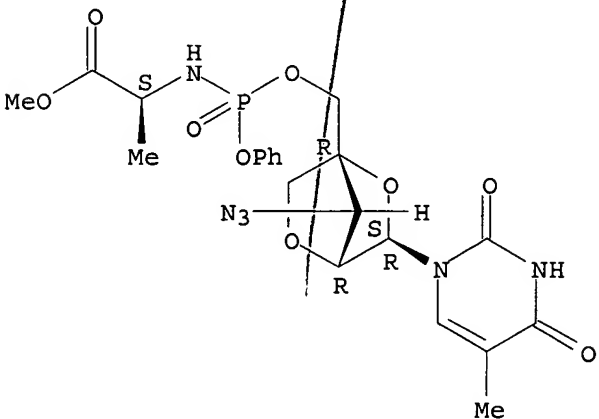
Absolute stereochemistry.



RN 474927-26-9 CAPLUS

CN L-Alanine, N-(3'-azido-3-deoxy-5-methyl-2'-O,4'-C-methylene-P-phenyl-5'-uridylyl)-, methyl ester (9CI) (CA INDEX NAME)

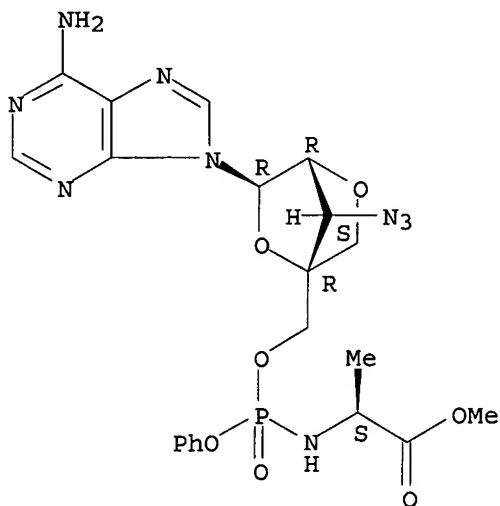
Absolute stereochemistry.



RN 474927-28-1 CAPLUS

CN L-Alanine, N-(3'-azido-3'-deoxy-2'-O,4'-C-methylene-P-phenyl-5'-adenylyl)-  
, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 474927-12-3P 474927-14-5P

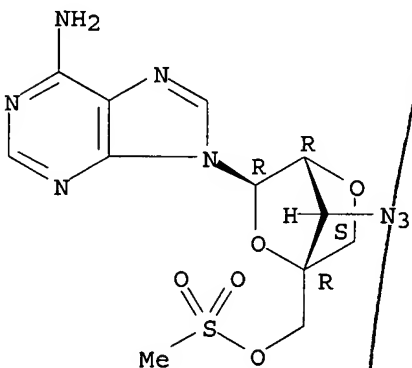
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(synthesis and antiviral activity of novel conformationally locked  
nucleosides and masked phosphate derivs. in order to evaluate the  
relationship between furanose conformation and anti-HIV activity)

RN 474927-12-3 CAPLUS

CN 9H-Purin-6-amine, 9-[2,5-anhydro-3-azido-3-deoxy-4-C-  
[[ (methylsulfonyl) oxy] methyl]-α-L-lyxofuranosyl]- (9CI) (CA INDEX  
NAME)

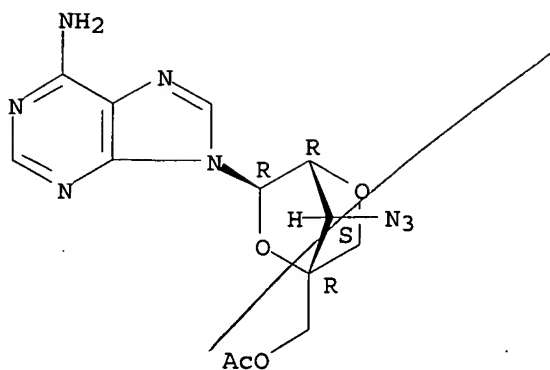
Absolute stereochemistry.



RN 474927-14-5 CAPLUS

CN 9H-Purin-6-amine, 9-[4-C-[(acetyloxy) methyl]-2,5-anhydro-3-azido-3-deoxy-  
α-L-lyxofuranosyl]- (9CI) (CA INDEX NAME)

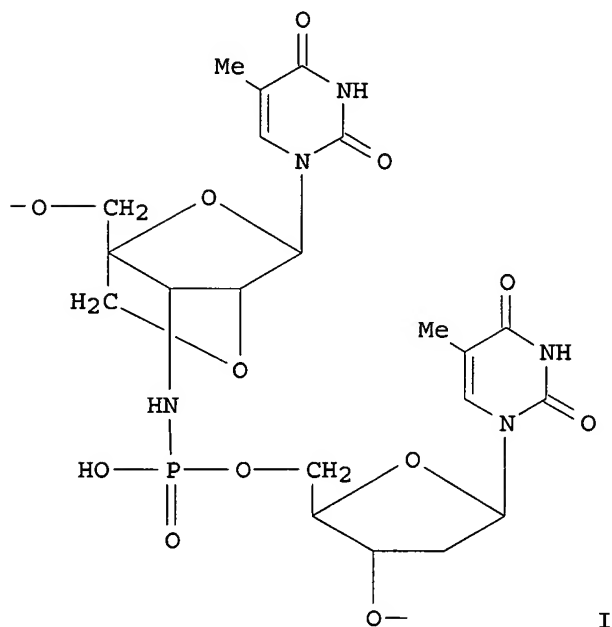
Absolute stereochemistry.



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2001:768564 CAPLUS  
DN 136:167631  
TI 3'-Amino-2',4'-BNA: novel bridged nucleic acids having an N3'→P5'  
phosphoramidate linkage  
AU Obika, Satoshi; Onda, Mayumi; Morita, Koji; Andoh, Jun-ichi; Koizumi,  
Makoto; Imanishi, Takeshi  
CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita,  
Osaka, 565-0871, Japan  
SO Chemical Communications (Cambridge, United Kingdom) (2001), (19),  
1992-1993  
CODEN: CHCOFS; ISSN: 1359-7345  
PB Royal Society of Chemistry  
DT Journal  
LA English  
OS CASREACT 136:167631  
GI

*7 mwd*



AB Novel oligonucleotide analogs (I), containing a 3'-amino-2',4'-BNA unit, were  
successfully synthesized, and they showed superior duplex and triplex  
forming ability as well as BNA itself, along with remarkable enzymic  
stability.

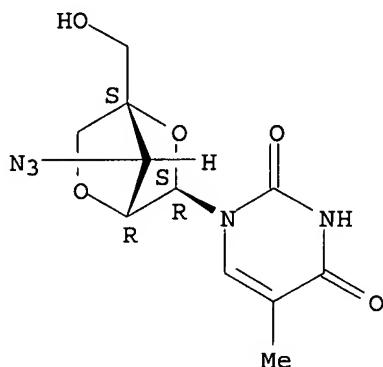
IT 247025-17-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of bridged nucleic acids having an N3'→P5'  
 phosphoramidate linkage and their effect on hybridization in DNA or RNA  
 duplexes or triplexes)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 321882-29-5P 391259-82-8P 391259-84-0P

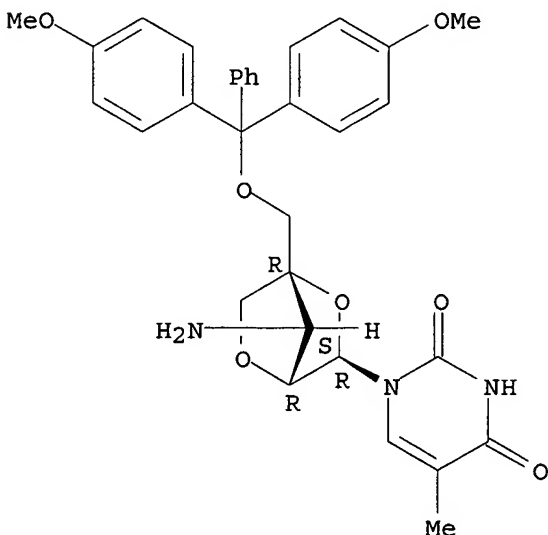
391259-85-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of bridged nucleic acids having an N3'→P5'  
 phosphoramidate linkage and their effect on hybridization in DNA or RNA  
 duplexes or triplexes)

RN 321882-29-5 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-deoxy-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

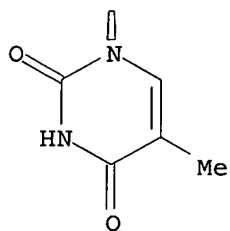
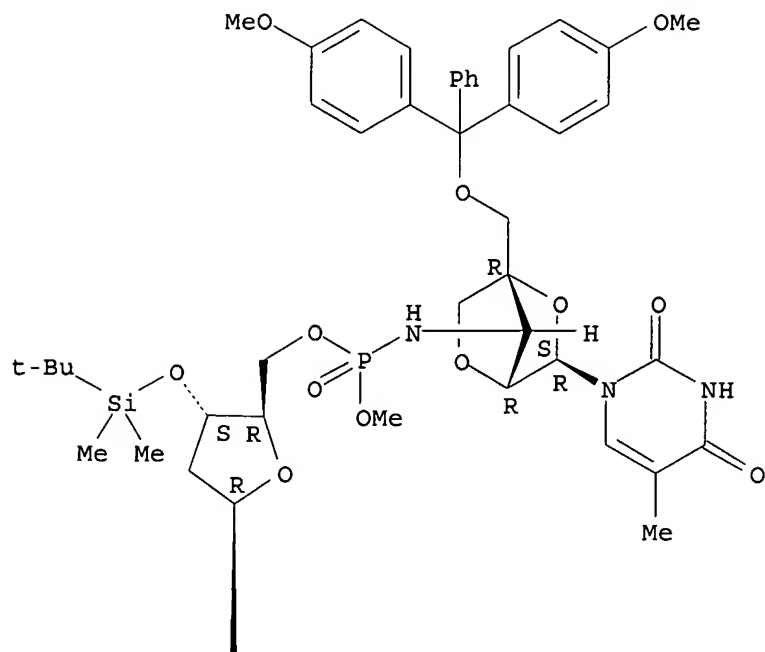
Absolute stereochemistry.



RN 391259-82-8 CAPLUS

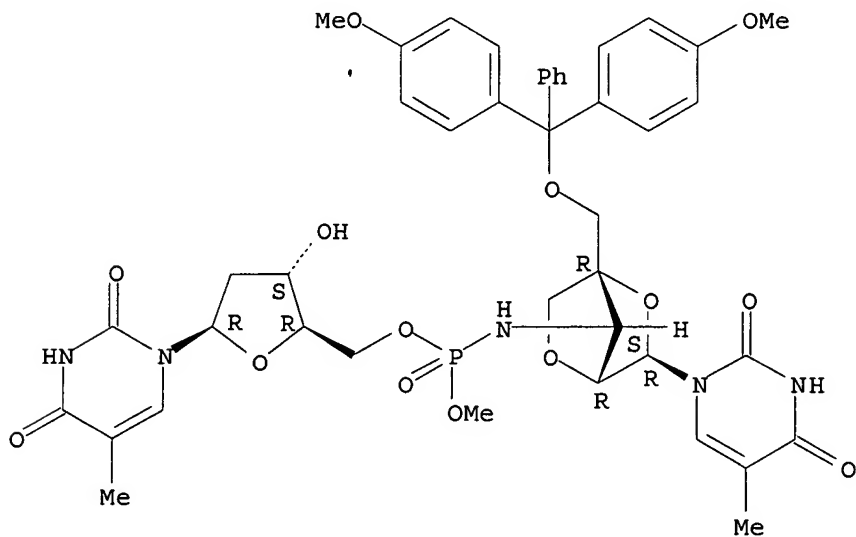
CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 391259-84-0 CAPLUS  
 CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')- (9CI) (CA INDEX NAME)

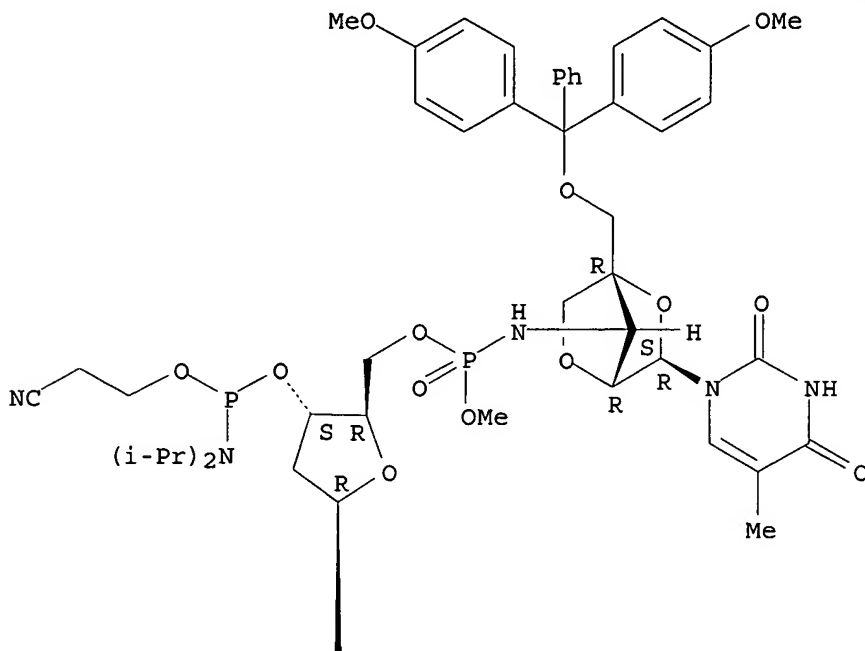
Absolute stereochemistry.



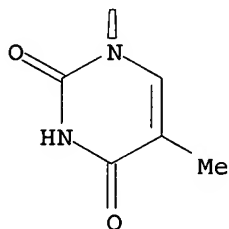
RN 391259-85-1 CAPLUS  
 CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-3'-deoxy-P,5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-, 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2001:78400 CAPLUS  
 DN 134:131768  
 TI Preparation of novel bicyclo nucleoside analogues as intermediates for  
 oligonucleotide analogs both having anti-HIV activity  
 IN (Imanishi, Takeshi); Kohiga, Satoshi  
 PA Sankyo Company, Ltd., Japan  
 SO PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese

*M Jm*

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007455	A1	20010201	WO 2000-JP4902	20000721
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,				

PT, SE

JP 2001089496	A2	20010403	JP 2000-218496	20000719
CA 2380205	AA	20010201	CA 2000-2380205	20000721
AU 2000063135	A5	20010213	AU 2000-63135	20000721
AU 766656	B2	20031023		
BR 2000012646	A	20020409	BR 2000-12646	20000721
EP 1201678	A1	20020502	EP 2000-949882	20000721
EP 1201678	B1	20040922		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY

NZ 516653	A	20030926	NZ 2000-516653	20000721
RU 2227143	C2	20040420	RU 2002-101317	20000721
AT 277066	E	20041015	AT 2000-949882	20000721
PT 1201678	T	20041130	PT 2000-949882	20000721
ES 2226891	T3	20050401	ES 2000-949882	20000721
ZA 2002000398	A	20030617	ZA 2002-398	20020116
NO 2002000305	A	20020321	NO 2002-305	20020121
US 2004143114	A1	20040722	US 2002-54300	20020122
HK 1044776	A1	20050218	HK 2002-106305	20020827

PRAI JP 1999-207170

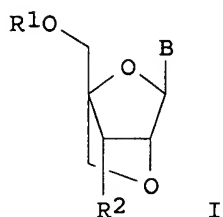
A 19990722

WO 2000-JP4902

W 20000721

OS MARPAT 134:131768

GI



I

AB Novel bicyclo nucleoside analogs having an anti-AIDS activity (no data), which are useful as intermediates for the preparation of oligonucleotide analogs having an excellent antisense or antigene activity and being stable in vivo, are claimed. Specifically, novel bicyclo nucleoside analogs represented by the structural formula (I) or pharmacol. acceptable salts thereof [wherein R1 is hydrogen, a hydroxyl-protecting group, PO<sub>3</sub>H<sub>2</sub>, or P(R4a)R4b (wherein R4a and R4b are (un)protected OH, SH, or NH<sub>2</sub>, C1-6 alkoxy, C1-6 alkylthio, C1-7 cyanoalkoxy, or C1-6 alkylamino); R2 is azido, optionally protected amino, or P(R4a)R4b (R4a and R4b are = same as above); and B is a purin-9-yl or 2-oxo-1,2-dihydropyrimidin-1-yl group which is optionally substituted with a member selected from the group consisting of halogeno, C1-C6 alkyl, hydroxyl, mercapto, amino, and so on] are prepared. Thus, 300 mg 3-azido-5-(tert-butyldiphenylsilyl)-3-deoxy-4-(p-toluenesulfonyloxymethyl)-1,2-di-O-acetyl-D-ribofuranose was condensed with 240 mg O,O'-bis(trimethylsilyl)thymine in the presence of 253 mg SnCl<sub>4</sub> in 1,2-dichloroethane at room temperature for 43 h to give 2'-O-acetyl-3'-azido-5'-O-(tert-butyldiphenylsilyl)-3'-deoxy-4'-(p-toluenesulfonyloxymethyl)-5-methyluridine which (200 mg) was dissolved in 7 mL MeOH and stirred with 41 mg K<sub>2</sub>CO<sub>3</sub> at room temperature for 4.5 h to give 100% 3'-azido-5'-O-(tert-butyldiphenylsilyl)-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine. The latter compound was stirred with Bu<sub>4</sub>NF in THF at room temperature for 1 h to give 85% 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine (II) which was hydrogenated over 10% Pd-C in THF to give 100% 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine. An oligonucleotide analog 5'-d(TTTTTTTTTT-n-T)-3' (T = 2'-deoxythymidine, n = 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine residue) was also prepared by the phosphoramidite using II as the intermediate.

IT 247025-16-7P 321882-28-4P 321882-29-5P

321882-30-8P 321882-31-9P 321882-32-0P

321882-33-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT



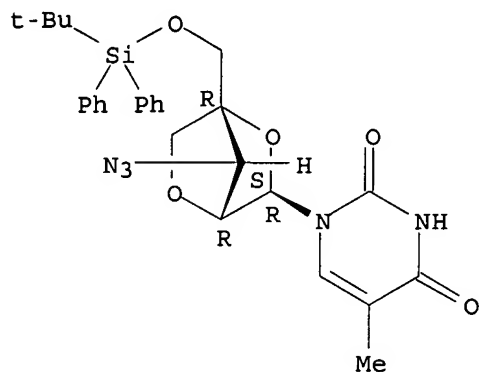
(Reactant or reagent)

(preparation of novel bicyclo nucleoside analogs as intermediates for antisense or antigene oligonucleotide analogs both having anti-HIV activity for treatment of AIDS)

RN 247025-16-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

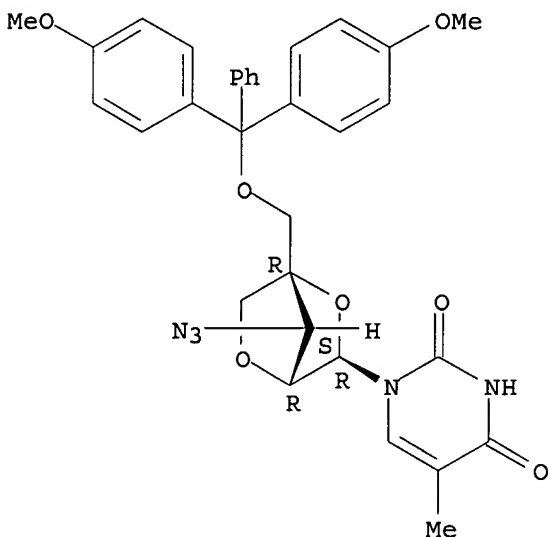
Absolute stereochemistry.



RN 321882-28-4 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-5-O-[bis(4-methoxyphenyl)phenylmethyl]-3-deoxy- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

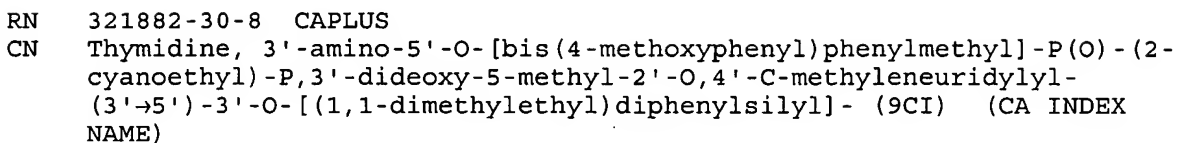
Absolute stereochemistry.



RN 321882-29-5 CAPLUS

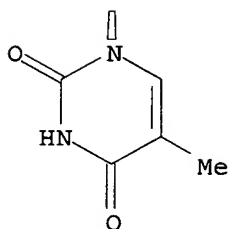
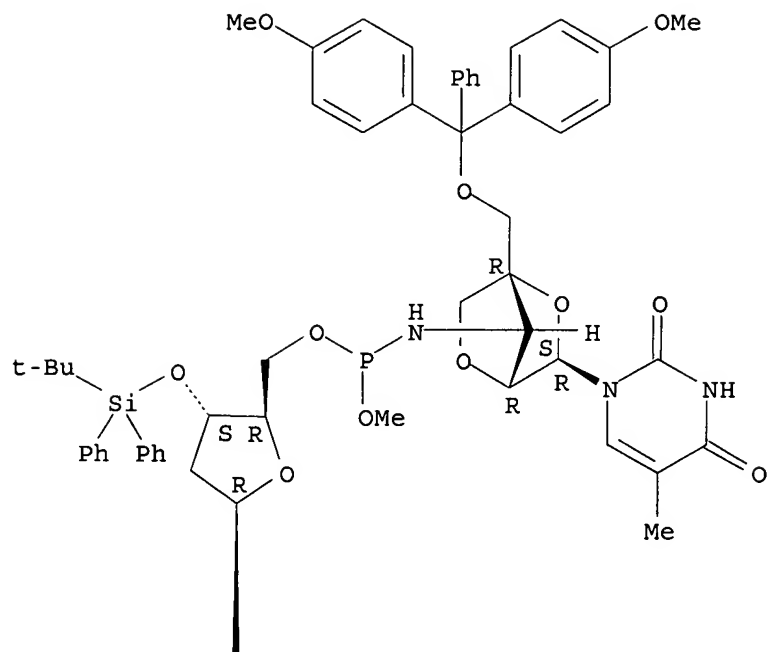
CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-4-C-[[bis(4-methoxyphenyl)phenylmethoxy]methyl]-3-deoxy- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



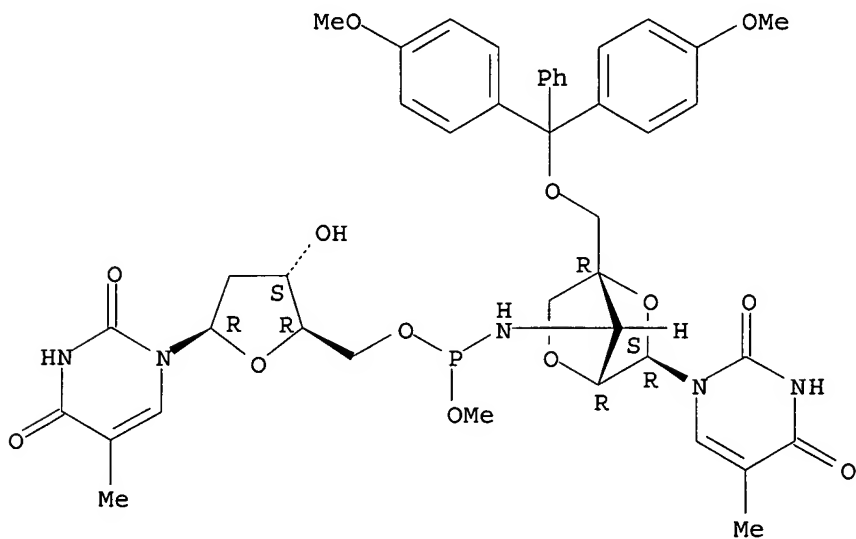
RN	321882-31-9	CAPLUS
CN	Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-3'-deoxy-P(O),5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-3'-O-[(1,1-dimethylethyl)diphenylsilyl]- (9CI) (CA INDEX NAME)	

Absolute stereochemistry.



RN 321882-32-0 CAPLUS  
 CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxy-3'-  
 deoxy-P(O), 5-dimethyl-2'-O, 4'-C-methyleneuridylyl-(3'→5')- (9CI)  
 (CA INDEX NAME)

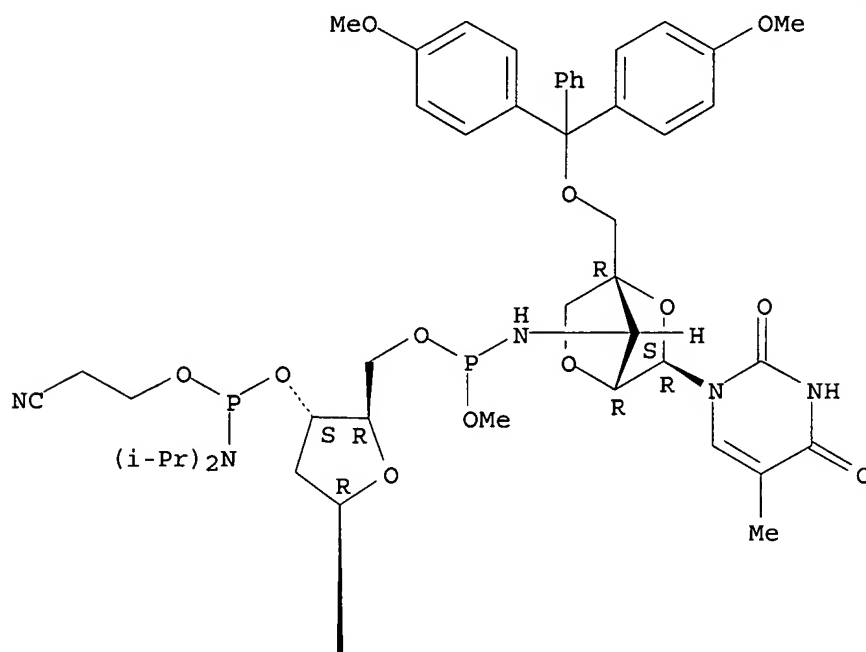
Absolute stereochemistry.



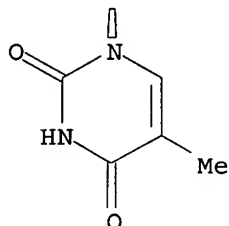
RN 321882-33-1 CAPLUS  
 CN Thymidine, 3'-amino-5'-O-[bis(4-methoxyphenyl)phenylmethyl]-P-deoxo-3'-  
 deoxy-P(O),5-dimethyl-2'-O,4'-C-methyleneuridylyl-(3'→5')-,  
 3'-[2-cyanoethyl bis(1-methylethyl)phosphoramidite] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

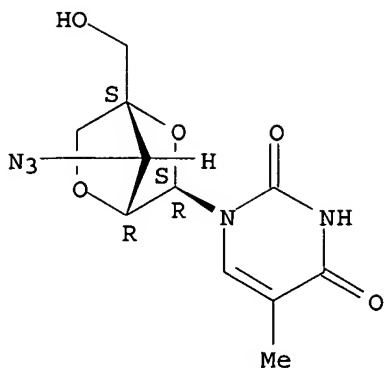


PAGE 2-A



IT 247025-17-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)  
 (preparation of novel bicyclo nucleoside analogs as intermediates for  
 antisense or antigene oligonucleotide analogs both having anti-HIV  
 activity for treatment of AIDS)  
 RN 247025-17-8 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-  
 (hydroxymethyl)-α-L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 247025-18-9P

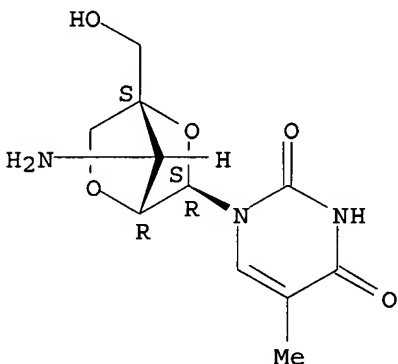
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel bicyclo nucleoside analogs as intermediates for antisense or antigene oligonucleotide analogs both having anti-HIV activity for treatment of AIDS)

RN 247025-18-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2000:761652 CAPLUS

DN 134:101124

TI Synthesis and evaluation of anti-HIV-1 activity of 3'-azido-3'-deoxy-2'-O,4'-C-methylene-linked bicyclic thymine nucleosides

AU Olsen, Anne G.; Rajwanshi, Vivek K.; Nielsen, Claus; Wengel, Jesper  
CS Department of Chemistry, Center for Synthetic Bioorganic Chemistry, University of Copenhagen, Copenhagen, DK-2100, Den.

SO Perkin 1 (2000), (21), 3610-3614

CODEN: PERKE9, ISSN: 1470-4358

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 134:101124

AB Two conformationally locked AZT analogs, each containing a 2'-O,4'-C-methylene-linked bicyclic furanose moiety, are synthesized via a 3'-azido-3'-deoxy-4'-C-hydroxymethyl nucleoside. The  $\beta$ -D-ribo-configured derivative is shown by NOE expts. to exist in a north-type (3E, C3'-endo) conformation and the  $\alpha$ -L-xylo-configured derivative in a south-type (3E, C3'-exo) conformation. Both nucleosides were devoid of anti-HIV activity in MT-4 cells.

IT 247025-17-8P 319919-16-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

*ordered article*  
*Printed*

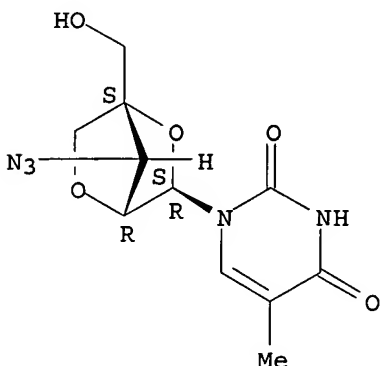
study); PREP (Preparation)

(synthesis and evaluation of anti-HIV-1 activity of  
azidodeoxy-O,C-methylene-linked bicyclic thymine nucleosides)

RN 247025-17-8 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

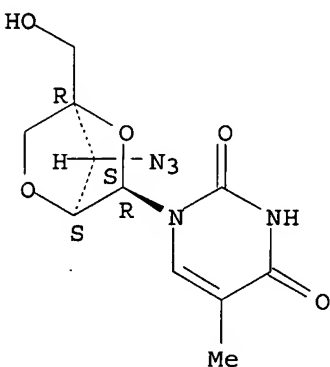
Absolute stereochemistry.



RN 319919-16-9 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- $\beta$ -D-arabinofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:570020 CAPLUS

DN 131:299638

TI Synthesis of a conformationally locked AZT analog, 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine

AU Obika, Satoshi; Andoh, Jun-Ichi; Sugimoto, Tomomi; Miyashita, Kazuyuki; Imanishi, Takeshi

CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita, 565-0871, Japan

SO Tetrahedron Letters (1999), 40(35), 6465-6468

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

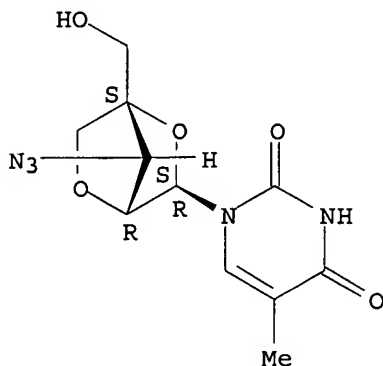
DT Journal

LA English

AB A bicyclic 3'-azido-3'-deoxythymidine (AZT) analog with a locked N-conformation, 3'-azido-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine (I), and its 3'-amino derivative, 3'-amino-3'-deoxy-2'-O,4'-C-methylene-5-methyluridine, were successfully synthesized from D-glucose. The conformation of I was also discussed by means of  $^1\text{H}$  NMR measurements and a mol. modeling (PM3) study.

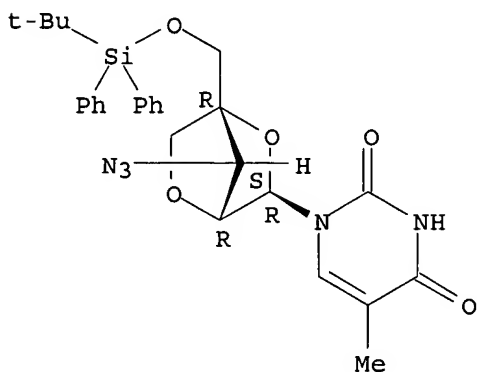
IT **247025-17-8P**  
 RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis, conformation, and mol. modeling of a locked AZT analog azidodeoxymethylenemethyluridine)  
 RN 247025-17-8 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



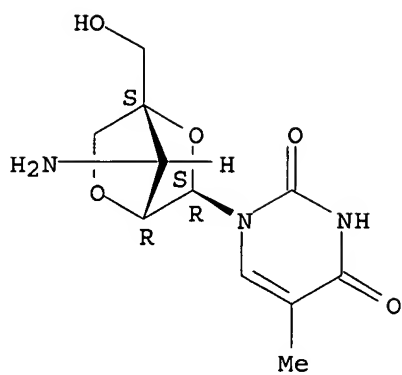
IT **247025-16-7P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis, conformation, and mol. modeling of a locked AZT analog azidodeoxymethylenemethyluridine)  
 RN 247025-16-7 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,5-anhydro-3-azido-3-deoxy-4-C-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]methyl]- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **247025-18-9P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis, conformation, and mol. modeling of a locked AZT analog azidodeoxymethylenemethyluridine)  
 RN 247025-18-9 CAPLUS  
 CN 2,4(1H,3H)-Pyrimidinedione, 1-[3-amino-2,5-anhydro-3-deoxy-4-C-(hydroxymethyl)- $\alpha$ -L-lyxofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT